INVENTOR SEARCH

=> d ibib abs hitstr l6 1-6

L6 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:428664 HCAPLUS Full-text
DOCUMENT NUMBER: 149:531193
TITLE: Breakthrough of immune self-tolerance to calreticulin induced by CpG-oligodeoxynucleotides as adjuvant
AUTHOR(S): Abe, Kazumichi; Ohira, Hiromasa; Kobayashi, Hiroko; Saito, Hironobu; Takahashi, Atsushi; Rai, Tsuyoshi; Kanno, Yukiko; Monoe, Kyoko; Watanabe, Hiroshi; Irisawa, Atsushi; Sato, Yukio
CORPORATE SOURCE: Department of Internal Medicine II, Fukushima Medical University School of Medicine, Fukushima, 960-1295, Japan
SOURCE: Fukushima Journal of Medical Science (2007), 53(2), 95-108
CODEN: FJMSAU; ISSN: 0016-2590
PUBLISHER: Fukushima Society of Medical Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reportedly, bacterial DNA containing unmethylated cytosine-guanosine dinucleotide motif-containing oligodeoxynucleotides (CpG-ODNs) can induce Th1-type adjuvant effects. The authors produced autoantibodies and induced hepatitis in mice using extracted proteins from human hepatocytes with CpG-ODNs as adjuvant. Western blot anal. was performed of sera from immunized mice and two patients with autoimmune hepatitis (AIH). When a common band was detected, N-terminal amino acid sequencing was performed to determine its site. For detection of antibodies against the identified protein (calreticulin), ELISA was performed of sera of 50 patients with AIH: 45 with primary biliary cirrhosis (PBC), 24 with chronic hepatitis C (CH), and 24 healthy controls. Mice were immunized with calreticulin protein with CpG-ODNs as adjuvant. Several reacted bands were detected in their sera; in addition, a common band to the sera of patients with AIH was detected at 60 kDa. Subsequent N-terminal amino acid sequencing revealed that the protein was human calreticulin. ELISA showed that, of patients with AIH, PBC, and CH, 30.0% (15/50), 17.8% (8/45), and 12.5% (3/24), resp., were pos. for anti-calreticulin antibodies. Splenocytes from immunized mice produced IFN-γ after they were pulsed with calreticulin protein. Histol. analyses of liver specimens taken from mice immunized with calreticulin protein together with CpG-ODNs showed spotty and focal necrosis. Immunofluorescence anal. showed increased expression of calreticulin in the liver treated with CpG-ODNs. These results suggest that a breakthrough of immune self-tolerance to calreticulin is induced with CpG-ODNs as adjuvant and that calreticulin protein might be a target antigen in this model.

IT 9000-86-6, Alanine aminotransferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(immune self-tolerance to calreticulin induced by CpG-ODNs as adjuvant)
RN 9000-86-6 HCAPLUS
CN Aminotransferase, alanine (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

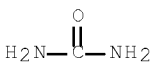
ACCESSION NUMBER: 2008:428663 HCAPLUS Full-text
DOCUMENT NUMBER: 149:926
TITLE: Inhibitory oligodeoxynucleotide improves glomerulonephritis and prolongs survival in MRL-lpr/lpr mice
AUTHOR(S): Hoshi, Namiko; Watanabe, Hiroshi; Kobayashi, Hiroko; Sekine, Hideharu; Hoshi, Nobuo; Sugino, Takashi; Suzuki, Toshimitsu; Sato, Yukio; Ohira, Hiromasa
CORPORATE SOURCE: Department of Internal Medicine II, Fukushima Medical University, Fukushima, 960-1295, Japan
SOURCE: Fukushima Journal of Medical Science (2007), 53(2), 70-84
CODEN: FJMSAU; ISSN: 0016-2590
PUBLISHER: Fukushima Society of Medical Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Inhibitory oligodeoxynucleotides (ODNs), which are capable of blocking CpG-induced inflammation, have been anticipated to be beneficial therapeutic agents for autoimmune diseases. In this study, we show that GpC ODN, which inverted the cytosine guanine sequence of CpG motif to guanine cytosine sequence, is an inhibitory ODN. The inhibitory effects of GpC ODN on CpG ODN-induced immune activation were confirmed by cytokine assay using splenocytes from lupus-prone MRL-lpr/lpr mice. In vivo, injecting MRL-lpr/lpr mice with GpC ODN did not reduce the deposition of IgG and C3 in the glomeruli, the serum level of IL-12, the serum level of rheumatoid factors and anti-ds DNA antibody, or alter the composition of IgG isotypes of anti-ds DNA antibody. However, the mice in the GpC group showed less proteinuria, significantly lower blood urea nitrogen levels (BUN) and significantly prolonged survival. The results suggest that inhibitory ODNs, such as GpC ODN, have the potential to become a treatment for autoimmune diseases, like lupus nephritis.

IT 848512-14-1, CpG 1668
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitory oligodeoxynucleotide improved glomerulonephritis and prolonged survival in MRL-lpr/lpr mouse which is murine model of systemic lupus erythematosus)
RN 848512-14-1 HCAPLUS
CN DNA, d(P-thio)(T-C-C-A-T-G-A-C-G-T-T-C-C-T-G-A-T-G-C-T) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 57-13-6, Urea, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitory oligodeoxynucleotide reduced blood urea nitrogen level in MRL-lpr/lpr mouse)
RN 57-13-6 HCAPLUS
CN Urea (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1087237 HCAPLUS Full-text
DOCUMENT NUMBER: 145:101946

TITLE: Role of CpG ODN in concanavalin A-induced hepatitis in mice
AUTHOR(S): Abe, Kazumichi; Ohira, Hiromasa; Kobayashi, Hiroko; Rai, Tsuyoshi; Saito, Hironobu; Takahashi, Atsushi; Sato, Yukio
CORPORATE SOURCE: Department of Internal Medicine II, Fukushima Medical University School of Medicine, Fukushima, 960-1295, Japan
SOURCE: Fukushima Journal of Medical Science (2005), 51(1), 41-49
CODEN: FJMSAU; ISSN: 0016-2590
PUBLISHER: Fukushima Society of Medical Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: To investigate the effects of an intradermal injection of oligodeoxynucleotides (ODNs) containing unmethylated CpG motifs on Con A-induced hepatitis, an exptl. model of immune-mediated hepatitis. Methods: Con A was injected i.v. into Balb/c mice. Twelve hours after Con A challenge, blood and liver samples were obtained. CpG ODN was injected intradermally 48 h before Con A challenge. The extent of liver injury was assessed by determining serum alanine transaminase (ALT) and by liver histol. Serum levels of cytokines, including interferon (IFN)-γ, tumor necrosis factor (TNF)-α, interleukin (IL)-4 and IL-5, were measured by ELISA. Results: Co-administration of Con A and CpG ODN significantly increased serum ALT in mice compared with that in the case of administration of Con A alone (10,268±4,654 and 1,140±832 IU/l, resp., $p<0.05$). In liver histol., mice treated with CpG ODN and Con A showed more extensive midzonal necrosis than did mice treated with Con A alone. These mice also showed significant increases in serum TNF-α and IFN-γ and decrease in serum IL-5. Conclusions: The results indicate that CpG ODNs aggravate Con A-induced hepatitis by stimulating the production of T-helper-1 (Th1) cytokines, TNF-α and IFN-γ, suggesting that bacterial DNA that contains unmethylated CpG motifs may contribute to the exacerbation of immune-mediated liver injury.

IT 848512-14-1, CpG 1668
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(CpG-oligodeoxynucleotide aggravated Con-A induced hepatitis with the increase in Th-1 cytokines and decrease of serum IL-5)
RN 848512-14-1 HCAPLUS
CN DNA, d(P-thio)(T-C-C-A-T-G-A-C-G-T-T-C-C-T-G-A-T-G-C-T) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 11028-71-0, Concanavalin A
RL: ADV (Adverse effect, including toxicity); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(CpG-oligodeoxynucleotide aggravated Con-A induced hepatitis with the increase in Th-1 cytokines and decrease of serum IL-5)
RN 11028-71-0 HCAPLUS
CN Concanavalin A (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1087235 HCAPLUS Full-text
DOCUMENT NUMBER: 145:122331
TITLE: Effectiveness of intragastric immunization with

protein and oligodeoxynucleotides containing a CpG motif for inducing a gastrointestinal mucosal immune response in mice

AUTHOR(S): Hikichi, Takuto; Kobayashi, Hiroko; Oyama, Hitoshi; Yamamoto, Go; Watanabe, Hiroshi; Irisawa, Atsushi; Obara, Katsutoshi; Sato, Yukio

CORPORATE SOURCE: Department of Internal Medicine II, Fukushima Medical University School of Medicine, Fukushima, 960-1295, Japan

SOURCE: Fukushima Journal of Medical Science (2005), 51(1), 19-31
CODEN: FJMSAU; ISSN: 0016-2590

PUBLISHER: Fukushima Society of Medical Science

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Purpose: To investigate a new modality of mucosal vaccines, we evaluated the effectiveness of intragastric immunization for inducing a mucosal immune response in the gastrointestinal tract. Methods: Mice were immunized with β-galactosidase (β-gal) and synthesized oligodeoxynucleotides containing a CpG motif (CpG-DNA) by intragastric injection, and the immune response was compared with those induced by 3 other immunization forms: intranasal, oral, and intradermal. Results: Intragastric immunization with β-gal and CpG-DNA induced significant anti-β-gal fecal IgA production at 2 wk; however, at 4 wk the response was lacking. In contrast, intranasal immunization with β-gal and CpG-DNA induced the highest anti-β-gal fecal IgA production at 4 wk. Conclusion: Although intragastric immunization with protein and CpG-DNA induces a mucosal immune response in the gastrointestinal tract, intranasal immunization is the most effective to induce both mucosal and systemic immune responses. This finding may increase the possibility for developing vaccines against mucosal pathogens, especially Helicobacter pylori.

IT 896501-02-3
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(intragastric immunization with β-galactosidase and CpG DNA is less effective than intranasal immunization in inducing both gastrointestinal mucosal and systemic immune response)

RN 896501-02-3 HCAPLUS

CN DNA, d(T-C-C-A-T-G-A-C-G-T-T-C-C-T-G-A-T-G-C-T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:927226 HCAPLUS Full-text

DOCUMENT NUMBER: 141:388675

TITLE: Guanine methylated oligo-DNA containing CpG motifs alleviates collagen-induced arthritis in mice, use as immunosuppressant

INVENTOR(S): Sato, Yukio; Kobayashi, Hiroko

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co. Ltd., Japan

SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094448	A1	20041104	WO 2004-JP5935	20040423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20080200407	A1	20080821	US 2005-553948	20051021
PRIORITY APPLN. INFO.:			JP 2003-118999 A	20030423
			WO 2004-JP5935 W	20040423

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Polynucleotides capable of effectively suppressing the immunoactivity attributed to DNA having a CpG motif, to thereby find application in the prevention and/or treatment of immunol. diseases such as arthritis, are provided. In particular, polynucleotides comprising a CpG motif having a methylated guanine, and a pharmaceutical composition comprising the polynucleotide, are provided. To investigate the effects of an intradermal injection of an methylated oligodeoxynucleotide (ODN) containing CpG motifs on the severity of collagen-induced arthritis (CIA), methylated ODN containing a CpG motif was injected intradermally into DBA/1 LacJ mice at a dosage of 20 μg (yielding CpmG-CIA mice) 1 wk prior to the first immunization with bovine type II collagen (CII). CpmG-CIA mice had significantly lower arthritis scores than CIA mice or CpG-CIA mice. CpmG-CIA mice had less severe histopathol. changes than CIA mice and CpG-CIA mice. Moreover, splenocytes in CpG-CIA mice produced higher IFNγ titers in response to CII than did splenocytes in CIA mice and mCpG-CIA mice. Injection of methylated oligo-DNA containing CpG motifs alleviated CIA through activation of the Th1-type immune response, suggesting that microbial infection could be one of the mechanisms for aggravation or exacerbation of arthritis or, alternatively, that such infection could be an adjuvant in the induction of arthritis in rheumatoid arthritis. Moreover, administration of methylated CpG ODN to mouse bone marrow-derived macrophages suppressed IL-6 and IL-12 production

IT 787248-92-4 787248-93-5 787248-94-6
787248-95-7
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(nucleotide sequence; guanine methylated oligo-DNA containing CpG motifs alleviates collagen-induced arthritis in mice, use as immunosuppressant)

RN 787248-92-4 HCAPLUS
CN DNA, d(T-C-C-A-T-G-A-C-G-T-T-C-C-T-G-A-T-G-C-T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 787248-93-5 HCAPLUS
CN DNA, d(T-C-C-A-T-G-T-C-G-T-C-C-C-T-G-A-T-G-C-T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 787248-94-6 HCAPLUS
CN DNA, d(G-C-T-A-G-A-C-G-T-T-A-G-C-G-T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 787248-95-7 HCAPLUS

CN DNA, d(T-C-C-A-T-A-A-C-G-T-T-C-C-T-G-A-T-G-C-T) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

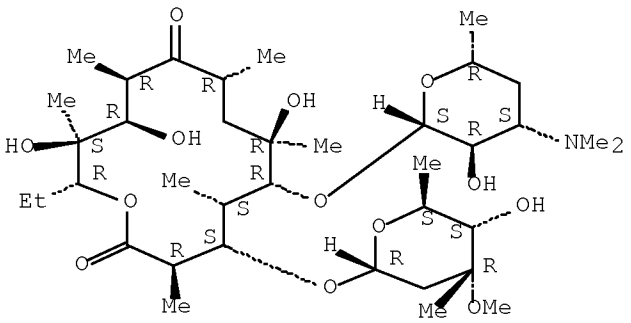
L6 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:805193 HCAPLUS Full-text
DOCUMENT NUMBER: 132:131999
TITLE: Adjuvant Effect of a 14-Member Macrolide Antibiotic on DNA Vaccine
AUTHOR(S): Sato, Yukio; Shishido, Hideo; Kobayashi, Hiroko; Takeda, Junko; Irisawa, Atsushi; Miyata, Masayuki; Nishimaki, Tomoe; Fujita, Teizo; Kasukawa, Reiji
CORPORATE SOURCE: Department of Internal Medicine II, Fukushima Medical University School of Medicine, Fukushima, 960-1295, Japan
SOURCE: Cellular Immunology (1999), 197(2), 145-150
CODEN: CLIMB8; ISSN: 0008-8749
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Macrolide antibiotics have unique immunomodulatory actions apart from their antimicrobial properties. The authors examined the effect of erythromycin (EM), a 14-member macrolide, on the immune response to a DNA vaccine that induces a T-helper-1 (Th1)-biased immune response through a Th1-promoting adjuvant effect of unmethylated CpG motifs within plasmid DNA. EM enhanced Th1 responses in plasmid DNA-immunized mice as measured by antigen-specific IgG2a antibody production, interferon-γ production by antigen-specific CD4+ T cells, and cytotoxic T lymphocyte responses. EM augmented the accessory cell activity of unmethylated CpG DNA-stimulated antigen-presenting cells (APCs), suggesting that EM enhances Th1 responses to a DNA vaccine, possibly through augmentation of accessory cell activity of APCs stimulated with CpG motifs within plasmid DNA. (c) 1999 Academic Press.

IT 114-07-8, Erythromycin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immune adjuvant effect of a 14-member macrolide antibiotic erythromycin on DNA vaccine in relation to T-helper-1 cell enhancement)

RN 114-07-8 HCAPLUS
CN Erythromycin (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



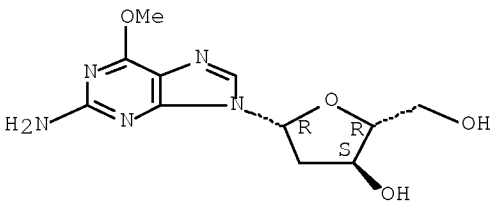
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

REGISTRY DISPLAY OF REQUESTED COMPOUND

=> d

L8 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN
RN 964-21-6 REGISTRY
ED Entered STN: 16 Nov 1984
CN Guanosine, 2'-deoxy-6-O-methyl- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Purine, 2-amino-9-(2-deoxy-β-D-erythro-pentofuranosyl)-6-methoxy- (8CI)
CN 9H-Purine, 2-amino-9-(2-deoxy-β-D-ribofuranosyl)-6-methoxy- (7CI)
OTHER NAMES:
CN 2'-Deoxy-6-methylguanosine
CN 2-Amino-6-methoxy-9-(2-deoxy-β-D-erythro-pentofuranosyl)purine
CN 6-O-Methyl-2'-deoxyguanosine
CN 6-O-Methyldeoxyguanosine
CN O6-Methyl-2'-deoxyguanosine
CN O6-Methyldeoxyguanosine
FS STEREOSEARCH
MF C11 H15 N5 O4
LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM, MEDLINE, RTECS*, SPECINFO, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

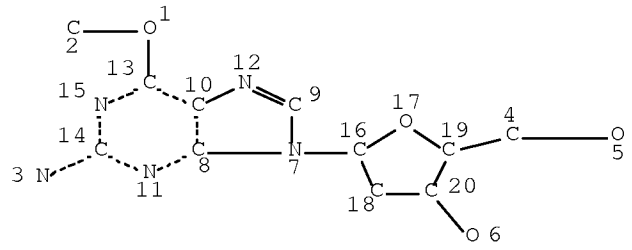


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

152 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
152 REFERENCES IN FILE CAPLUS (1907 TO DATE)
ED Entered STN: 16 Nov 1984

RESULTS FROM SEARCHES IN REGISTRY AND CAPLUS

=> d que stat l24
L11 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L13 2288 SEA FILE=REGISTRY SSS FUL L11
L14 1303 SEA FILE=HCAPLUS ABB=ON L13
L15 116 SEA FILE=HCAPLUS ABB=ON L14 AND ?PHARM?
L17 17 SEA FILE=HCAPLUS ABB=ON L14 AND CPG
L18 133 SEA FILE=HCAPLUS ABB=ON L15 OR L17
L19 116 SEA FILE=HCAPLUS ABB=ON L18 AND ?PHARM?
L20 7 SEA FILE=HCAPLUS ABB=ON L19 AND ?EXCIPIENT?
L23 24 SEA FILE=HCAPLUS ABB=ON L17 OR L20
L24 14 SEA FILE=HCAPLUS ABB=ON L23 AND (PRD<20030423 OR PD<20030423)

=> d ibib abs hitstr l24 1-14

L24 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:802819 HCAPLUS Full-text
DOCUMENT NUMBER: 140:59895
TITLE: CpG Oligonucleotides with Modified Termini and Nicked Dumbbell Structure Show Enhanced Immunostimulatory Activity
AUTHOR(S): Narayanan, Sukunath; Dalpke, Alexander H.; Siegmund, Karsten; Heeg, Klaus; Richert, Clemens
CORPORATE SOURCE: Institute for Organic Chemistry, University of Karlsruhe (TH), Karlsruhe, D-76131, Germany
SOURCE: Journal of Medicinal Chemistry (2003), 46(23), 5031-5044
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:59895
AB A series of 21 phosphodiester oligodeoxyribonucleotides containing the core sequence 5'-GACGTT-3' or related control sequences were prepared and tested for their immunostimulatory effect on murine macrophages. The range of

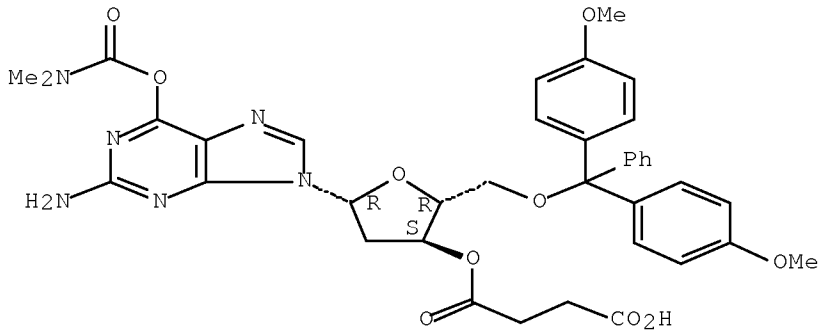
structural modifications tested included substituents at 3'- or 5'-termini, N3-methylation of thymidine residues, and hexaethylene glycol linkers favoring nicked or cyclic dumbbell duplexes. Lipophilic and cationic substituents at the termini failed to increase the release of TNF-α and nitric oxide, but two new types of modification were found that enhance the stimulation of RAW264.7 macrophages. One is the substitution of the 5'-terminal hydroxyl group with an amino group, and the other is the introduction of linkers favoring nicked duplexes. Even for sequences without linkers, UV-melting anal. and two-dimensional NMR showed that the core sequence 5'-GACGTT-3' readily forms a duplex. The cyclic derivative of the most active nicked dumbbell sequence is inactive, however. Together these results suggest a recognition of both the 5'-terminus and the core of the CpG oligonucleotides by the putative receptor(s) and provide an entry into a class of modified oligonucleotides whose activity rivals that of phosphorothioates, but consists of synthetic compds. that are single stereoisomers.

IT 630120-82-0D, CPG-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of CpG oligonucleotide duplexes with modified termini and nicked dumbbell structure show enhanced immunostimulatory activity)

RN 630120-82-0 HCAPLUS

CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 6-(dimethylcarbamate) 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:750162 HCAPLUS Full-text

DOCUMENT NUMBER: 140:146385

TITLE: Oligoribonucleotide synthesis with the (2-cyano-1-phenylethoxy)carbonyl (2c1peoc) group for the 5'-Hydroxy protection

AUTHOR(S): Muench, Ursula; Pfleiderer, Wolfgang

CORPORATE SOURCE: Fachbereich Chemie, Universitat Konstanz, Konstanz, 78434, Germany

SOURCE: Helvetica Chimica Acta (2003), 86(7), 2546-2565
CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:146385

AB The (2-cyano-1-phenylethoxy)carbonyl (2c1peoc) group was developed as a new base-labile protecting group for the 5'-OH function in solid-phase synthesis of oligoribonucleotides via the phosphoramidite approach. The half-lives of its β-elimination process by 0.1M DBU (1,8-diazabicyclo[5.4.0]undec-7-ene) were determined to be 7-14 s by HPLC investigations. The 2'-OH function was protected with the acid-labile tetrahydro-4-methoxy-2H-pyran-4-yl (thmp) group, while the 2-(4-nitrophenyl)ethyl (npe) and 2-(4-nitrophenyl)ethoxycarmonomeric building blocks, both phosphoramidites and nucleoside-functionalized supports, as well as the build-up of oligoribonucleotides by means of this approach are described.

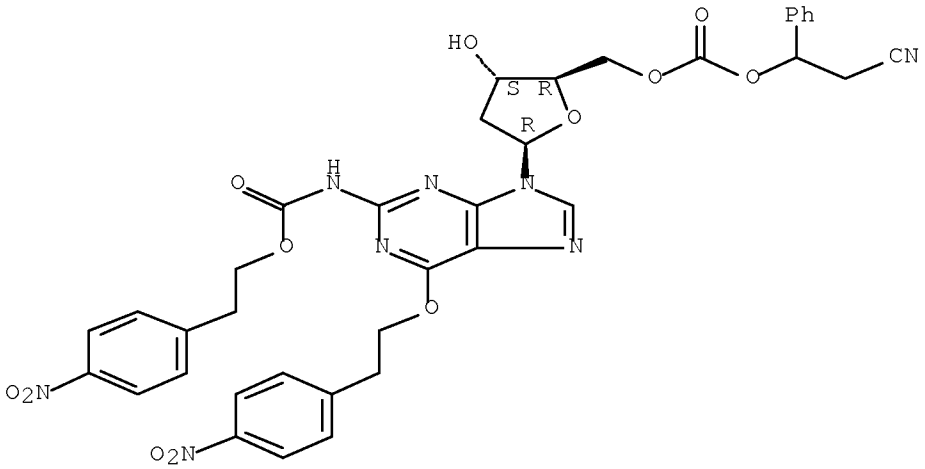
IT 649759-56-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (synthesis and deprotection kinetics of the (2-cyano-1-phenylethoxy)carbonyl group as a base-labile protective group for 5'-hydroxy groups in nucleosides toward the solid-phase synthesis of oligoribonucleotides)

RN 649759-56-8 HCAPLUS

CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 5'-(2-cyano-1-phenylethyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



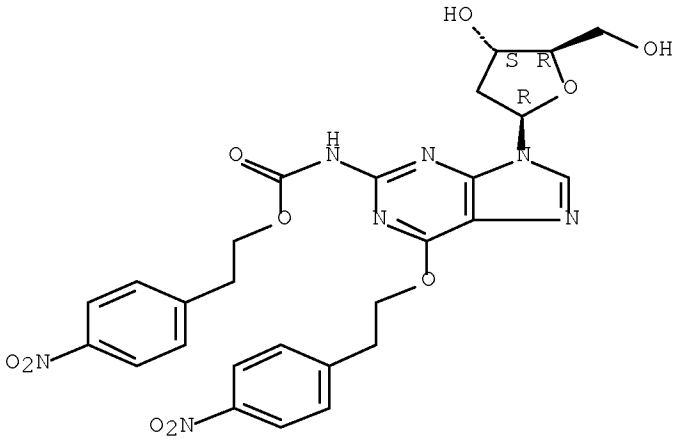
IT 111244-92-9

RL: RCT (Reactant); RACT (Reactant or reagent) (synthesis and deprotection kinetics of the (2-cyano-1-phenylethoxy)carbonyl group as a base-labile protective group for 5'-hydroxy groups in nucleosides toward the solid-phase synthesis of oligoribonucleotides)

RN 111244-92-9 HCAPLUS

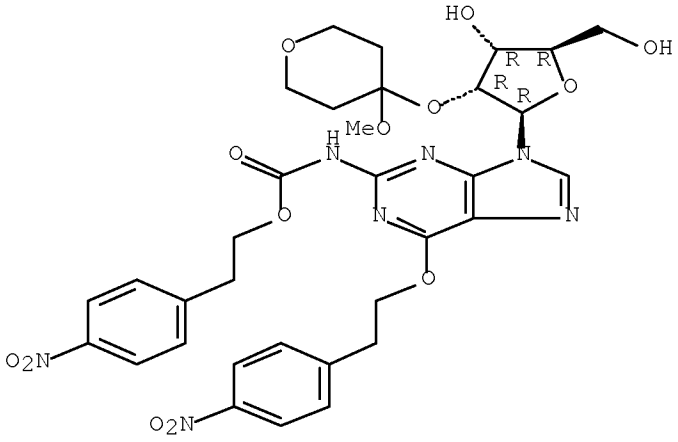
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



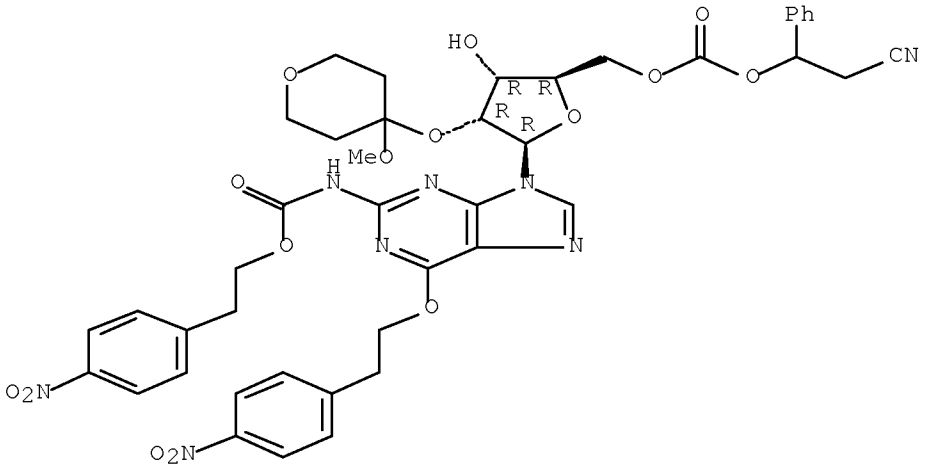
IT 155834-51-8P 195881-26-6P 195881-33-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and deprotection kinetics of the (2-cyano-1-phenylethoxy)carbonyl group as a base-labile protective group for 5'-hydroxy groups in nucleosides toward the solid-phase synthesis of oligoribonucleotides)
RN 155834-51-8 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195881-26-6 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 5'-(2-cyano-1-phenylethyl carbonate) (9CI) (CA INDEX NAME)

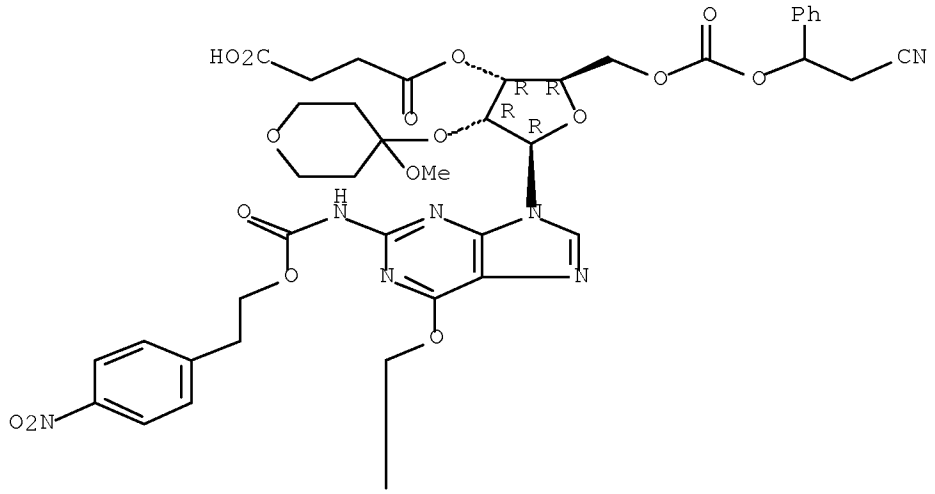
Absolute stereochemistry.



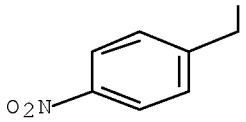
RN 195881-33-5 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 5'-(2-cyano-1-phenylethyl carbonate) 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



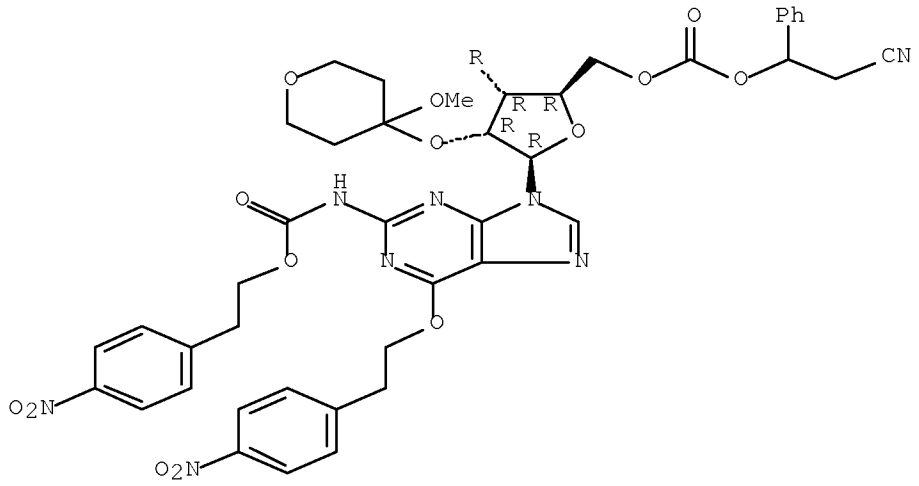
IT 195881-27-7P 195881-29-9P 195881-33-5DP,

LCAMA-CPG-polymer support 649759-57-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and deprotection kinetics of the (2-cyano-1-phenylethoxy)carbonyl group as a base-labile protective group for 5'-hydroxy groups in nucleosides toward the solid-phase synthesis of oligoribonucleotides)

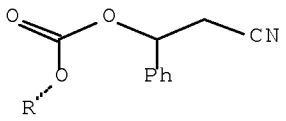
RN 195881-27-7 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 3',5'-bis(2-cyano-1-phenylethyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



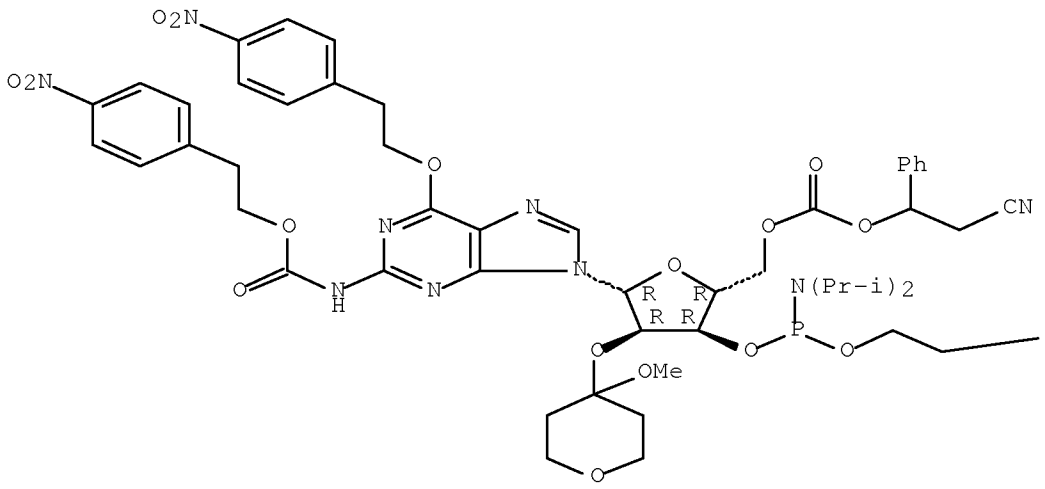
PAGE 2-A



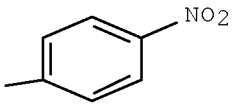
RN 195881-29-9 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 5'-(2-cyano-1-phenylethyl carbonate) 3'-[2-(4-nitrophenyl)ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



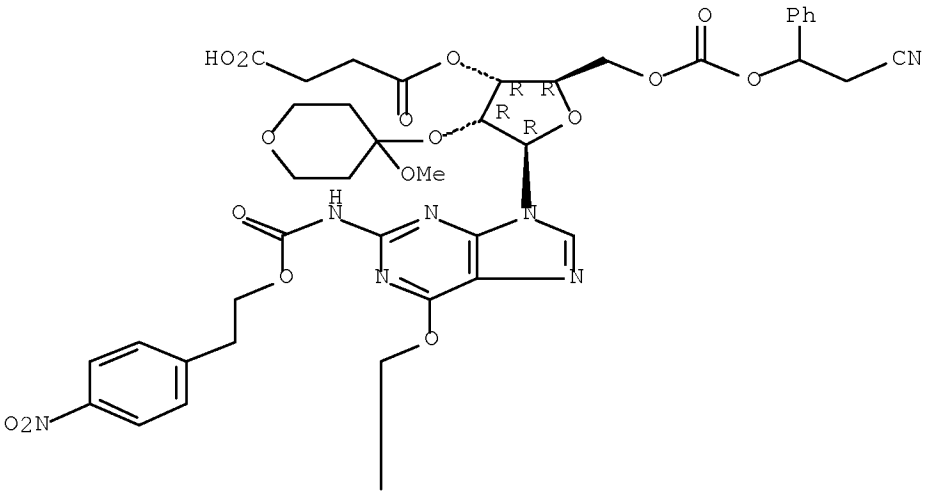
PAGE 1-B



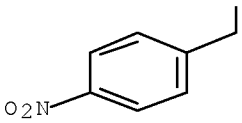
RN 195881-33-5 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 5'-(2-cyano-1-phenylethyl carbonate) 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

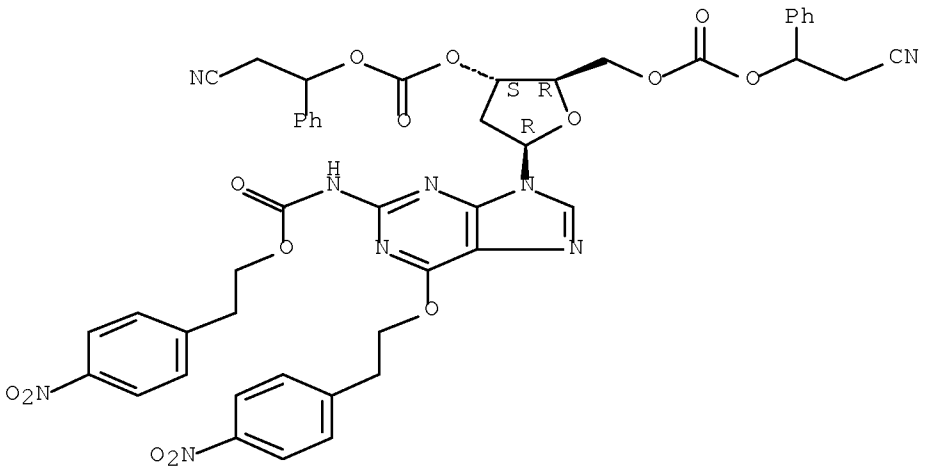


PAGE 2-A



RN 649759-57-9 HCAPLUS
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3',5'-bis(2-cyano-1-phenylethyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



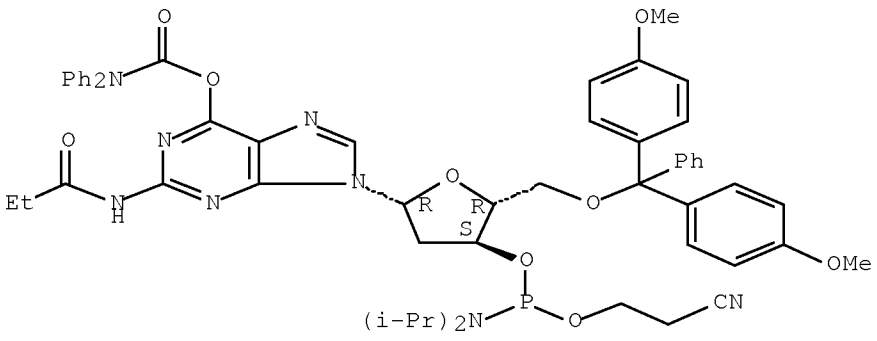
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:325573 HCAPLUS Full-text
DOCUMENT NUMBER: 139:175314
TITLE: A versatile approach towards regioselective platinated DNA sequences
AUTHOR(S): Heetebrij, Robert J.; de Kort, Martin; Meeuwenoord, Nico J.; den Dulk, Hans; van der Marel, Gijs A.; van Boom, Jacques H.; Reedijk, Jan
CORPORATE SOURCE: Leiden Institute of Chemistry Gorlaeus Laboratories, Leiden University, Leiden, 2300 RA, Neth.
SOURCE: Chemistry--A European Journal (2003), 9(8), 1823-1827
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:175314

AB Undesired N7 platination of 2'-deoxyguanosine residues at predetd. sites in an oligodeoxynucleotide (ODN) sequence is prevented by applying the sterically demanding diphenylcarbamoyl (DPC) as an O6-protecting group. The presence of a base-labile oxalyl linker between the immobilized 3'-nucleotide and controlled pore glass (CPG) allows cleavage of the protected ODN from the support and leaves DPC protection unaffected. This method provides an ODN with specifically blocked guanine-N7 sites for platination. In the hexanucleotides prepared in this study, 5'-GGBGGT-3' (for B = T, C and A), a platinum GG adduct is introduced at G4,G5. These site-specific platinated hexamers were isolated in a yield of 65%, and were fully characterized by using reversed-phase HPLC (high performance liquid chromotog.), LCMS (liquid chromatog.-mass spectrometry), MALDI-TOF MS (matrix-assisted laser desorption/ionization time-of-flight mass spectrometry), PAGE and Maxam-Gilbert sequencing anal.

IT 109464-21-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(versatile approach towards regioselective platinated DNA sequences)
RN 109464-21-3 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 578710-55-1P 578710-56-2P 578710-57-3P
579468-79-4P 579468-80-7P 579468-81-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

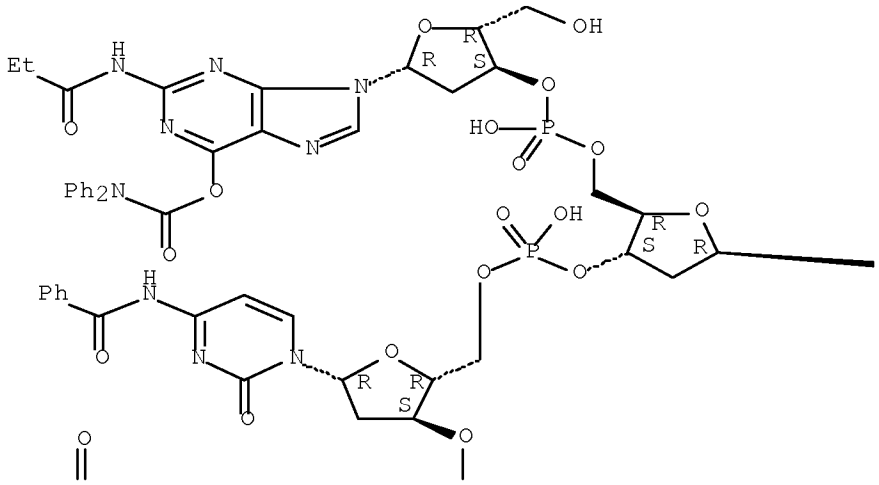
(versatile approach towards regioselective platinated DNA sequences)

RN 578710-55-1 HCAPLUS

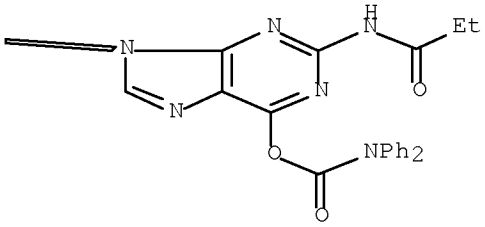
CN Thymidine, 2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-N-benzoyl-2'-deoxycytidylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

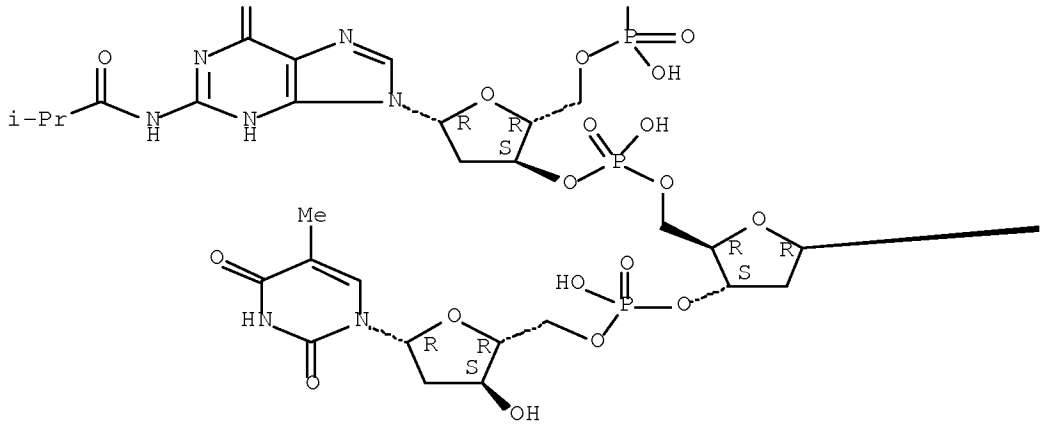
PAGE 1-A



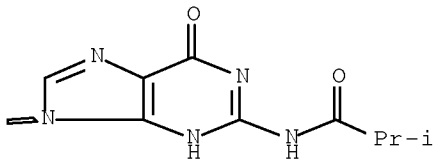
PAGE 1-B



PAGE 2-A



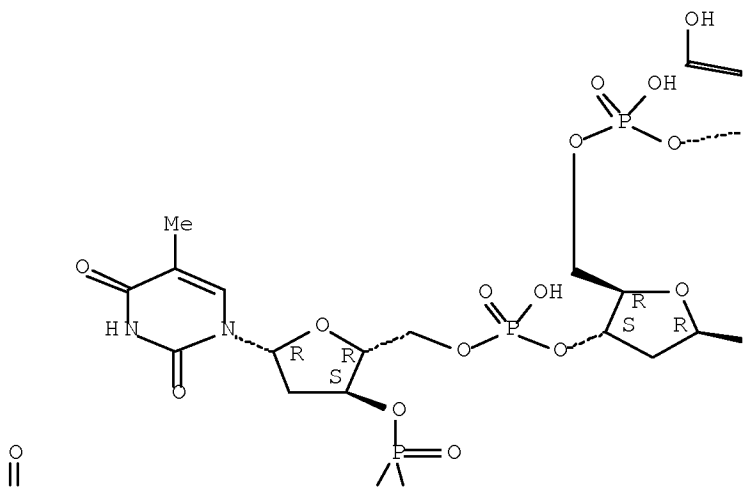
PAGE 2-B



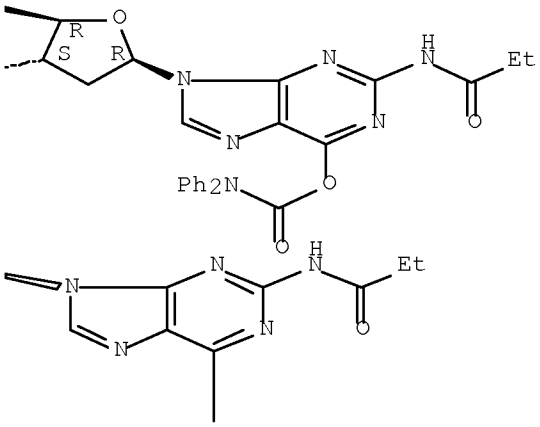
RN 578710-56-2 HCAPLUS
CN Thymidine, 2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-thymidylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

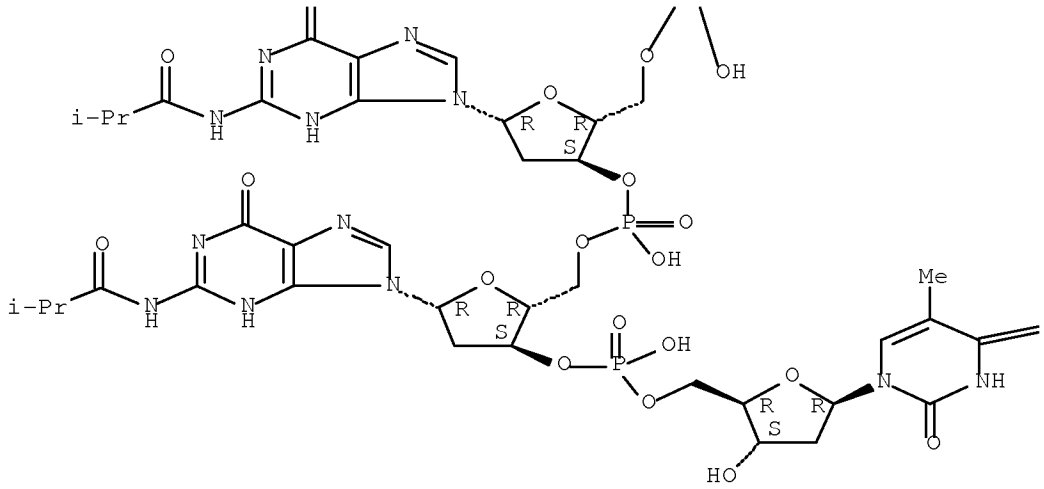
PAGE 1-A



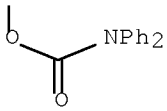
PAGE 1-B



PAGE 2-A



PAGE 2-B

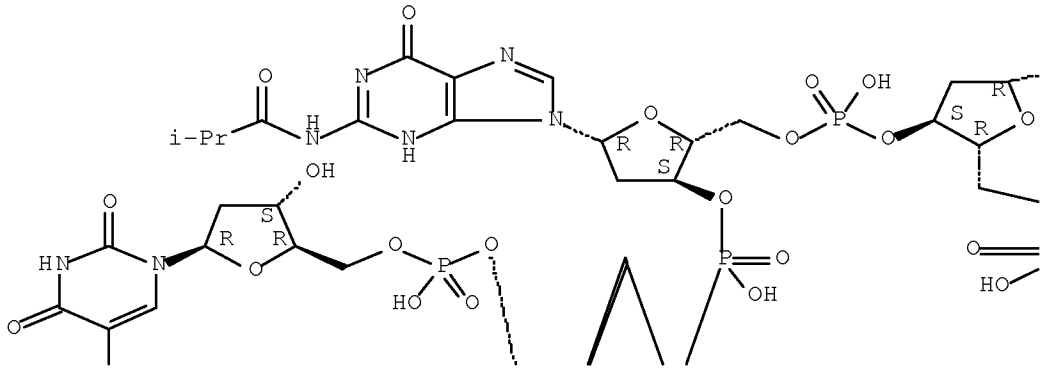


RN 578710-57-3 HCAPLUS

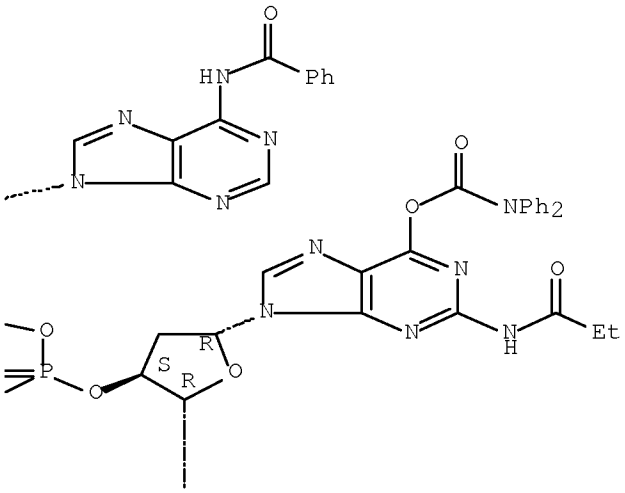
CN Thymidine, 2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-N-benzoyl-2'-deoxyadenylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

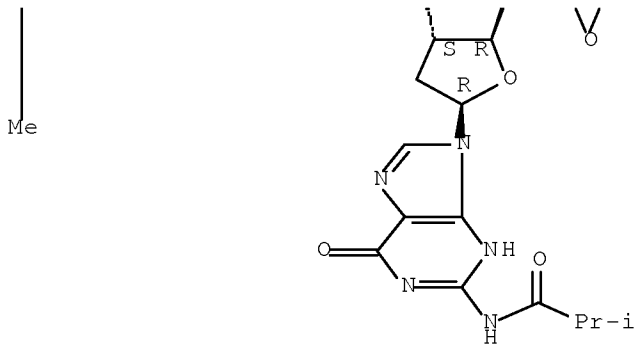
PAGE 1-A



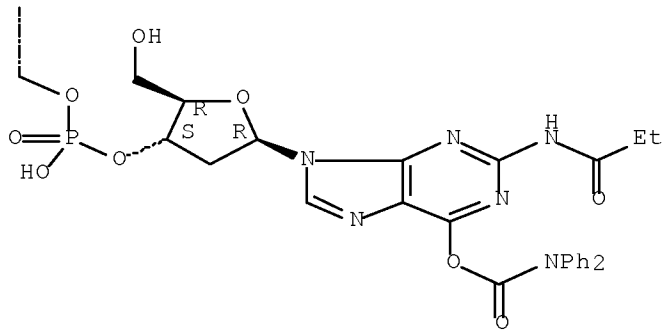
PAGE 1-B



PAGE 2-A



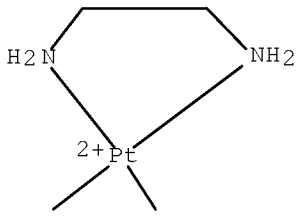
PAGE 2-B



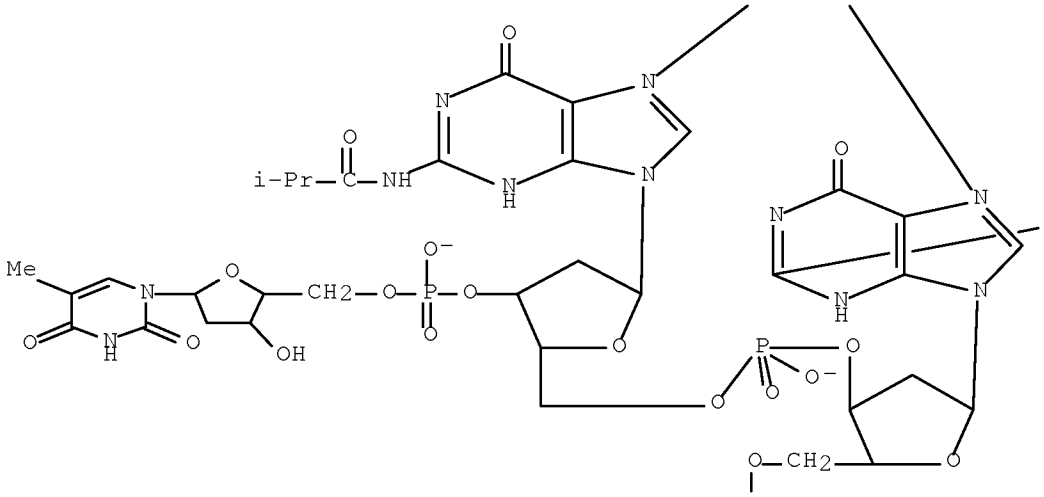
RN 579468-79-4 HCAPLUS

CN Platinate(3-), [2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-N-benzoyl-2'-deoxycytidylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-κN7-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-κN7-(3'→5')-thymidinato(5-)](1,2-ethanediamine-κN,κN')-, trihydrogen, (SP-4-3)- (9CI) (CA INDEX NAME)

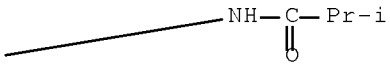
PAGE 1-A



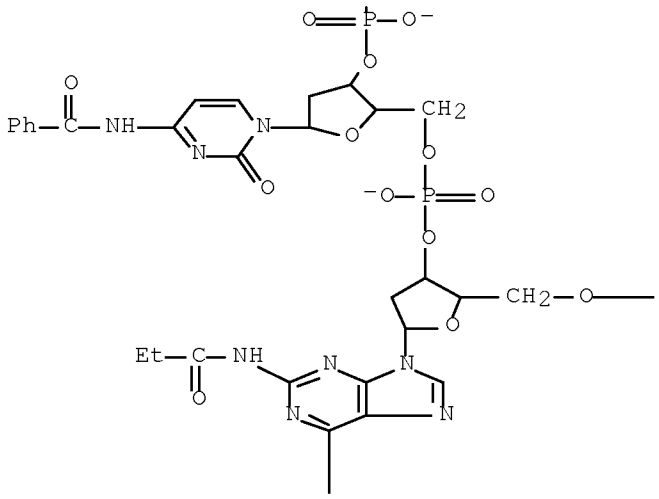
PAGE 2-A



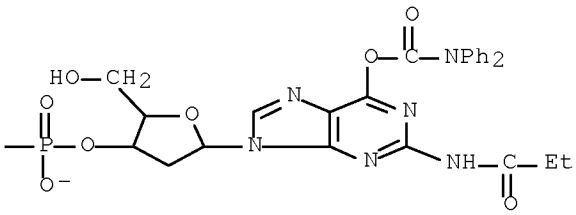
PAGE 2-B



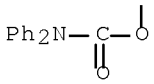
PAGE 3-A

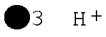


PAGE 3-B



PAGE 4-A

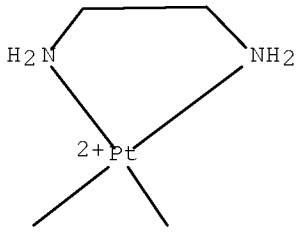




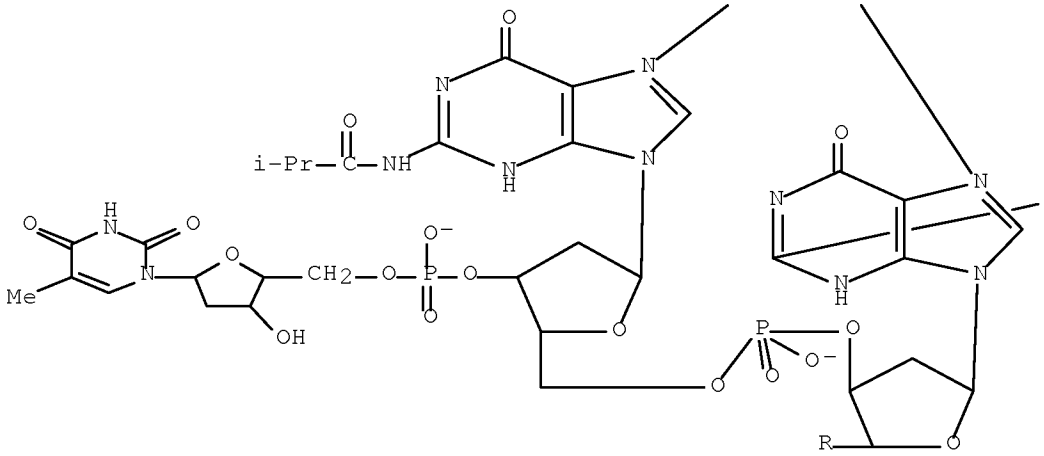
RN 579468-80-7 HCAPLUS

CN Platinate(3-), [2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-thymidylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-κN7-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-κN7-(3'→5')-thymidinato(5-)](1,2-ethanediamine-κN,κN')-, trihydrogen, (SP-4-3)- (9CI) (CA INDEX NAME)

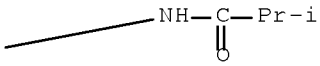
PAGE 1-A



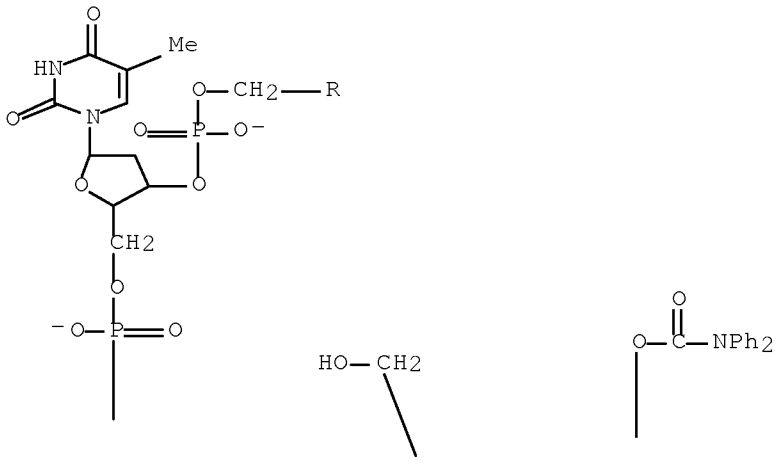
PAGE 2-A



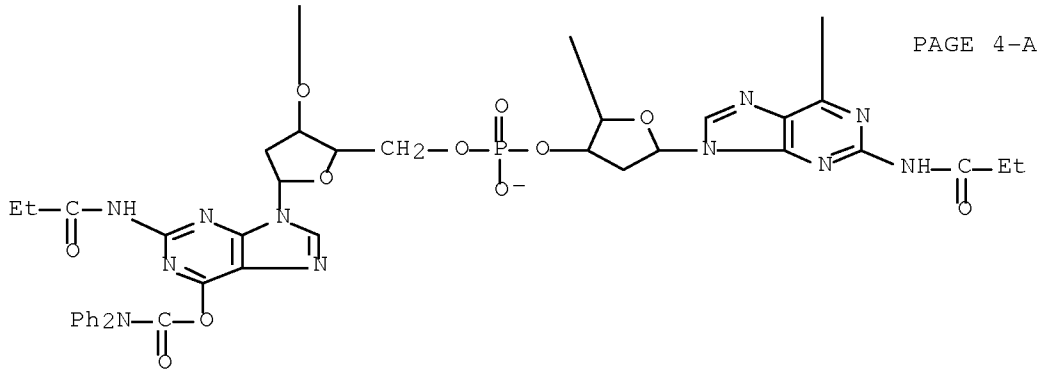
PAGE 2-B



PAGE 3-A



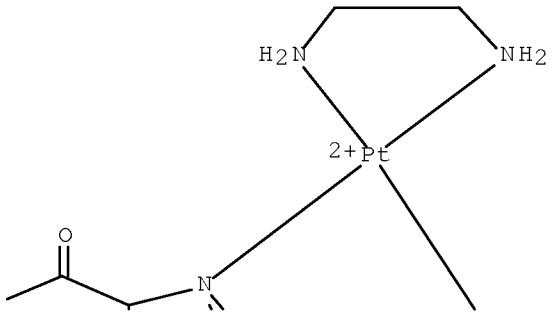
PAGE 4-A



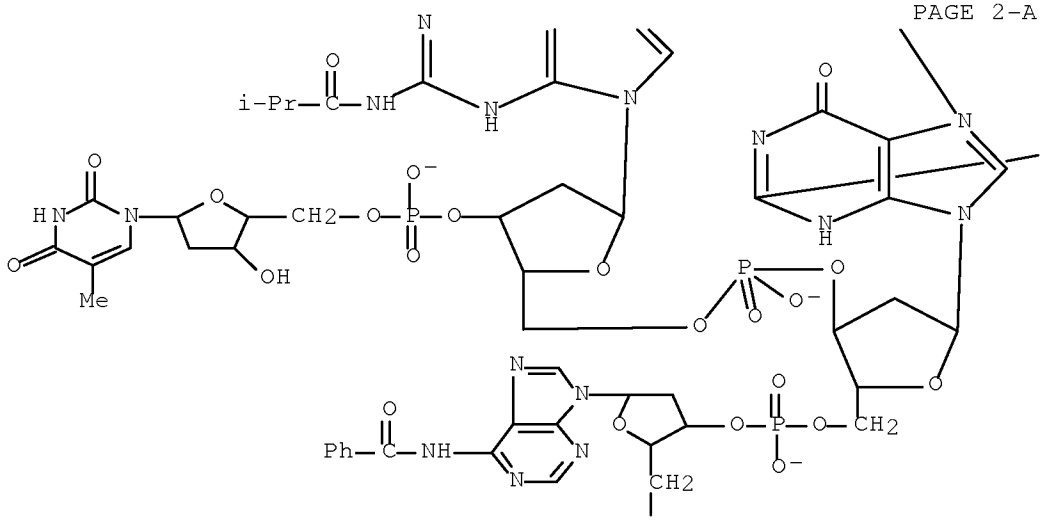
●3 H+

RN 579468-81-8 HCAPLUS
CN Platinate(3-), [2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-2'-deoxy-6-O-[(diphenylamino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-N-benzoyl-2'-deoxyadenylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-κN7-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-κN7-(3'→5')-thymidinato(5-)](1,2-ethanediamine-κN,κN')-, trihydrogen, (SP-4-3)- (9CI) (CA INDEX NAME)

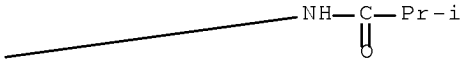
PAGE 1-A



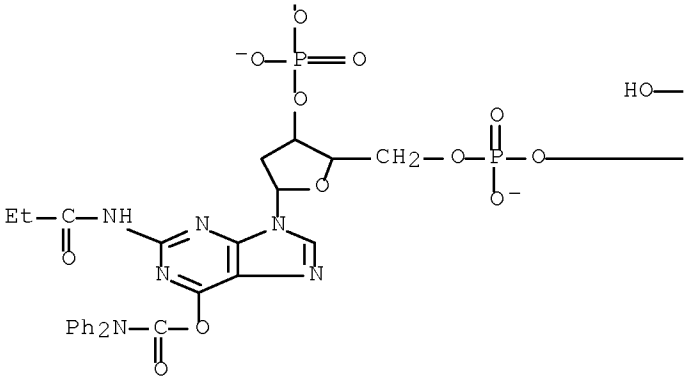
PAGE 2-A



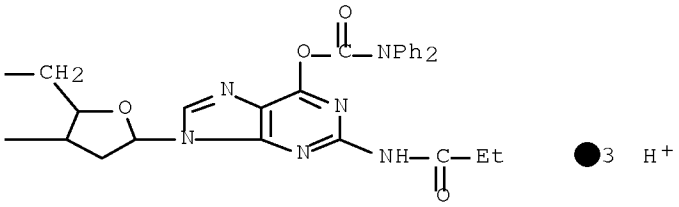
PAGE 2-B



PAGE 3-A



PAGE 3-B



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

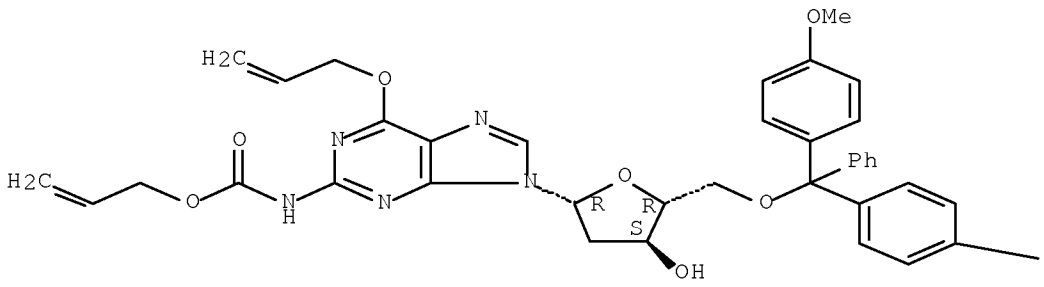
L24 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:45200 HCAPLUS Full-text
DOCUMENT NUMBER: 136:295015
TITLE: Use of allylic protecting groups for the synthesis of base-sensitive prooligonucleotides
AUTHOR(S): Spinelli, Nicolas; Meyer, Albert; Hayakawa, Yoshihiro; Imbach, Jean-Louis; Vasseur, Jean-Jacques
CORPORATE SOURCE: Lab. de Chimie Organique Biomoleculaire de Synthese, UMR 5625 CNRS-UM2, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: European Journal of Organic Chemistry (2002), (1), 49-56
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:295015
AB The synthesis of mixed MeSATE-phosphotriester and -phosphodiester prooligonucleotides [MeSATE = 2-(acetylthio)ethyl] of various sequences is described. The strategy is based on the use of allyloxycarbonyl (AOC) protection for nucleobases and MeSATE and allyl (All) protection for internucleosidic phosphates, in combination with palladium(0) deprotection. The synthesis was achieved by the use of phosphoramidite chemical on a photolabile solid support, enabling MALDI-TOF mass spectrometric anal. to be performed on the still anchored prooligonucleotides.

IT 150884-19-8 292177-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of base-sensitive prooligonucleotides using allylic and MeSATE protecting groups in photolabile solid-phase synthesis)

RN 150884-19-8 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



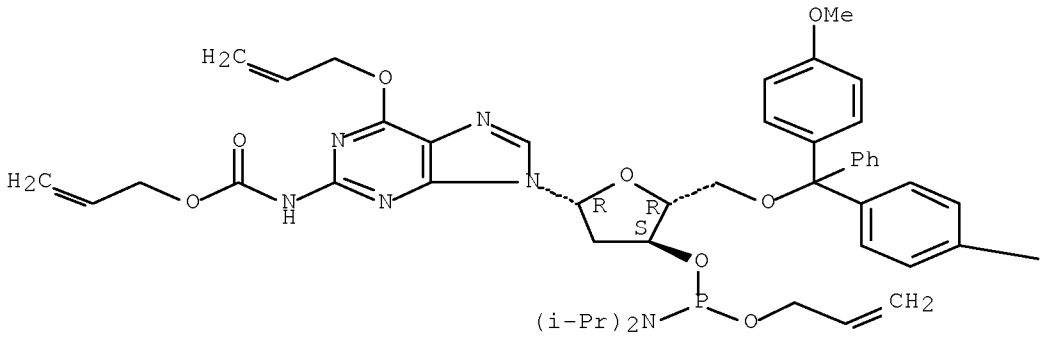
PAGE 1-B

OMe

RN 292177-56-1 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 3'-[2-propenyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



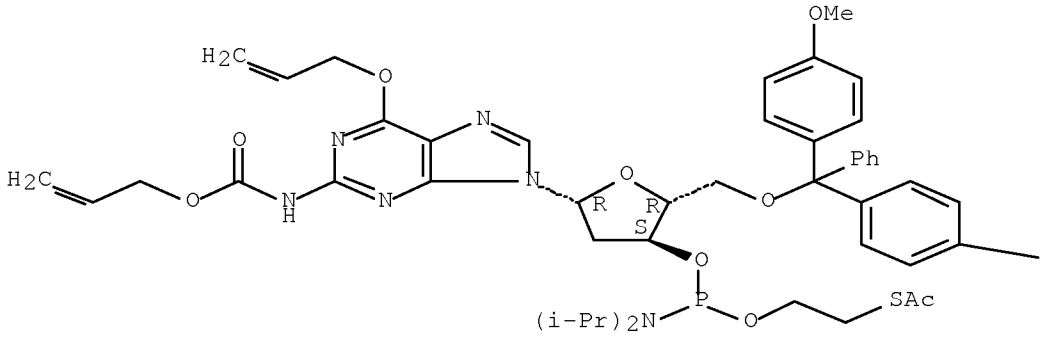
PAGE 1-B

—OMe

IT 407602-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of base-sensitive prooligonucleotides using allylic and MeSATE protecting groups in photolabile solid-phase synthesis)
RN 407602-88-4 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 3'-[2-(acetylthio)ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—OMe

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:567823 HCAPLUS Full-text
DOCUMENT NUMBER: 135:289014
TITLE: Acid/Azole Complexes as Highly Effective Promoters in the Synthesis of DNA and RNA Oligomers via the Phosphoramidite Method
AUTHOR(S): Hayakawa, Yoshihiro; Kawai, Rie; Hirata, Akiyoshi; Sugimoto, Jun-ichiro; Kataoka, Masanori; Sakakura, Akira; Hirose, Masaaki; Noyori, Ryoji
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Graduate School of Human Informatics, Nagoya University, Chikusa, Nagoya, 464-8601, Japan
SOURCE: Journal of the American Chemical Society (2001), 123(34), 8165-8176
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:289014

AB The utility of various kinds of acid salts of azole derivs. as promoters for the condensation of a nucleoside phosphoramidite and a nucleoside is investigated. Among the salts, N-(phenyl)imidazolium triflate, N-(p-acetylphenyl)imidazolium triflate, N-(methyl)benzimidazolium triflate, benzimidazolium triflate, and N-(phenyl)imidazolium perchlorate have shown extremely high reactivity in a liquid phase. These reagents serve as powerful activators of deoxyribonucleoside 3'-(allyl N,N-diisopropylphosphoramidite)s or 3'-(2-cyanoethyl N,N-diisopropylphosphoramidite)s employed in the preparation of deoxyribonucleotides, and 3'-O-(tert-butyldimethylsilyl)ribonucleoside 2'-(N,N-diisopropylphosphoramidite)s or 2'-O-(tert-butyldimethylsilyl)ribonucleoside 3'-(N,N-diisopropylphosphoramidite)s used for the formation of 2'-5' and 3'-5' internucleotide linkages between ribonucleosides, resp. The azolium salt has allowed smooth and high-yield condensation of the nucleoside phosphoramidite and a 5'-O-free nucleoside, in which equimolar amts. of the reactants and the promoter are employed in the presence of powdery mol. sieves 3A in acetonitrile. It has been shown that some azolium salts serve as excellent promoters in the solid-phase synthesis of oligodeoxyribonucleotides and oligoribonucleotides. For example, benzimidazolium triflate and N-(phenyl)imidazolium triflate can be used as

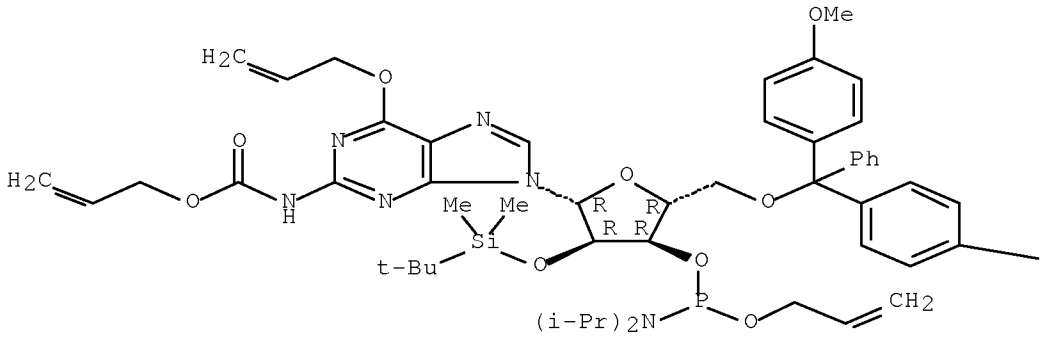
effective promoters in the synthesis of an oligodeoxyribonucleotide, 5'CGACACCCAATTCTGAAAAT3' (20mer), via a method using O-allyl/N-allyloxycarbonyl-protected deoxyribonucleoside 3'-phosphoramidites or O-(2-cyanoethyl)/N-phenoxyacetyl-protected deoxyribonucleotide 3'-phosphoramidite as building blocks, resp., on high-cross-linked polystyrene resins. Further, N-(phenyl)imidazolium triflate is useful for the solid-phase synthesis of oligoribonucleotides, such as 5'AGCUACGUGACUACUACUUU3' (20mer), according to an allyl/allyloxycarbonyl-protected strategy. The utility of the azolium promoter has been also demonstrated in the liquid-phase synthesis of some biol. important substances, such as cytidine-5'-monophosphono-N-acetylneuraminic acid (CMP-Neu5Ac) and adenylyl(2'-5')adenylyl(2'-5')adenosine (2-5A core).

IT 243844-65-7 292177-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oligonucleotides using acid/azole salts as phosphoramidite coupling agents)

RN 243844-65-7 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 3'-[2-propenyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



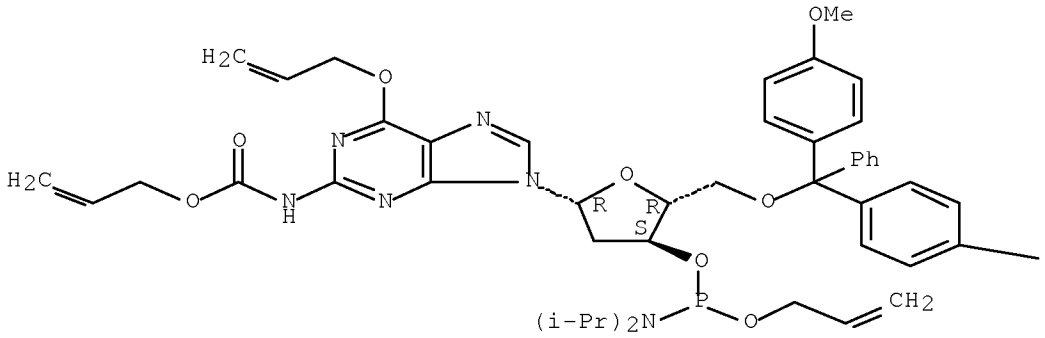
PAGE 1-B

OMe

RN 292177-56-1 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 3'-[2-propenyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



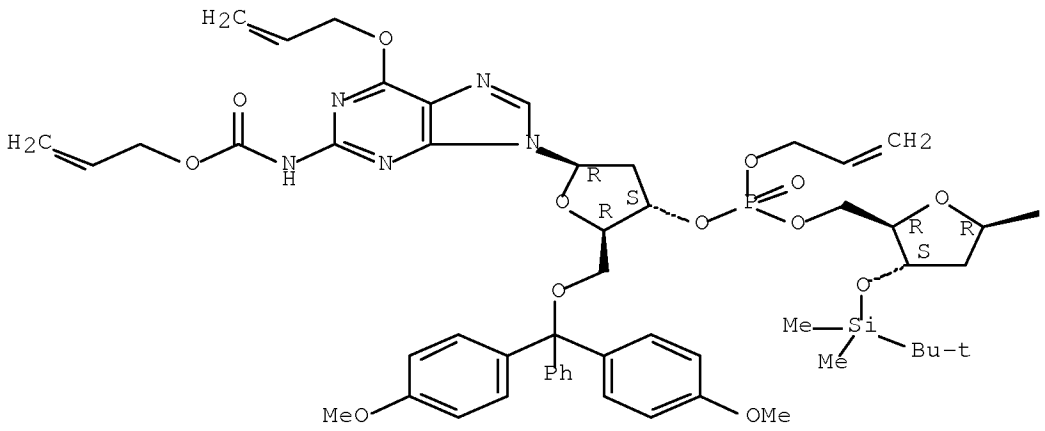
PAGE 1-B

—OMe

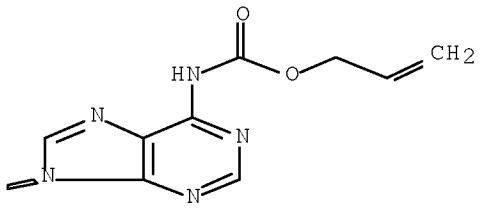
IT 361447-91-8P 361447-96-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligonucleotides using acid/azole salts as phosphoramidite coupling agents)
RN 361447-91-8 HCAPLUS
CN Adenosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-P-2-propenyl-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]guanylyl-(3'→5')-2'-deoxy-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-[(2-propenyloxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



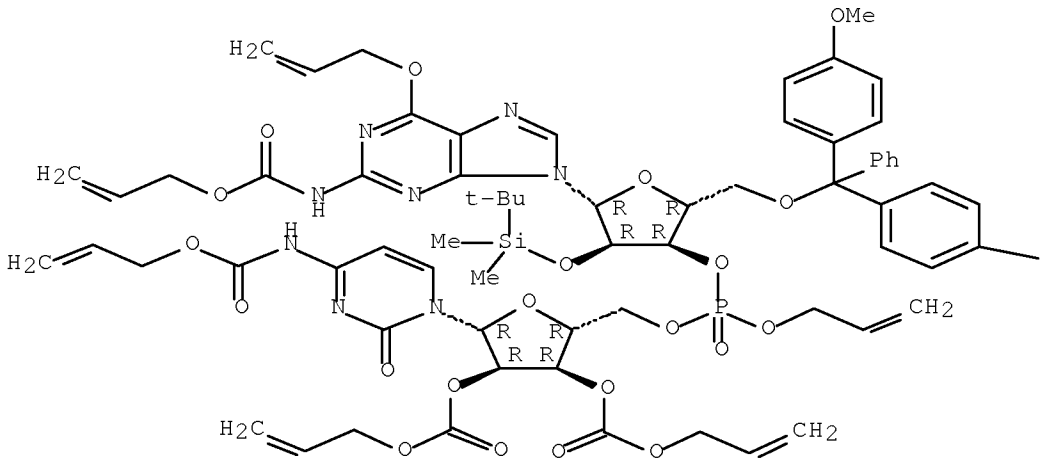
PAGE 1-B



RN 361447-96-3 HCAPLUS
CN Cytidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-P-2-propenyl-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]guanylyl-(3'→5')-N-[(2-propenyloxy)carbonyl]-, 2',3'-bis(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OMe

OS.CITING REF COUNT: 50 THERE ARE 50 CAPLUS RECORDS THAT CITE THIS RECORD (51 CITINGS)
REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:455468 HCAPLUS Full-text
DOCUMENT NUMBER: 135:211223
TITLE: A facile synthesis of 5'-end solid-anchored, 3'-end free oligodeoxyribonucleotides via the (5'→3')-elongated phosphoramidite strategy
AUTHOR(S): Sakakura, Akira; Hayakawa, Yoshihiro
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Graduate School of Human Informatics, Nagoya University, Nagoya, 464-8601, Japan
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(3), 213-227
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:211223

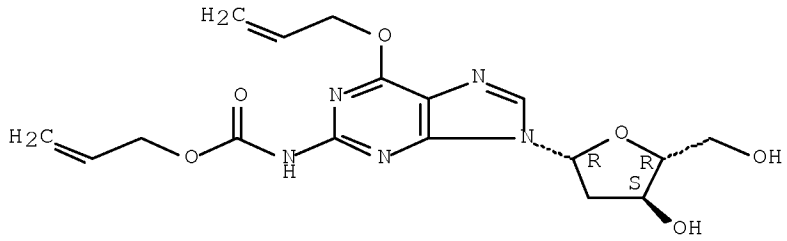
AB It is demonstrated that not only N2- but also O6-protection of the guanine base is necessary for obtaining the oligodeoxyribonucleotides in high yields and at a high purity in the solid-phase synthesis via the (5'→3')-chain elongated phosphoramidite approach.

IT 158391-96-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 5'-end solid-anchored, 3'-end free oligodeoxyribonucleotides via the (5'→3')-elongated phosphoramidite strategy)

RN 158391-96-9 HCAPLUS

CN Guanosine, 2'-deoxy-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



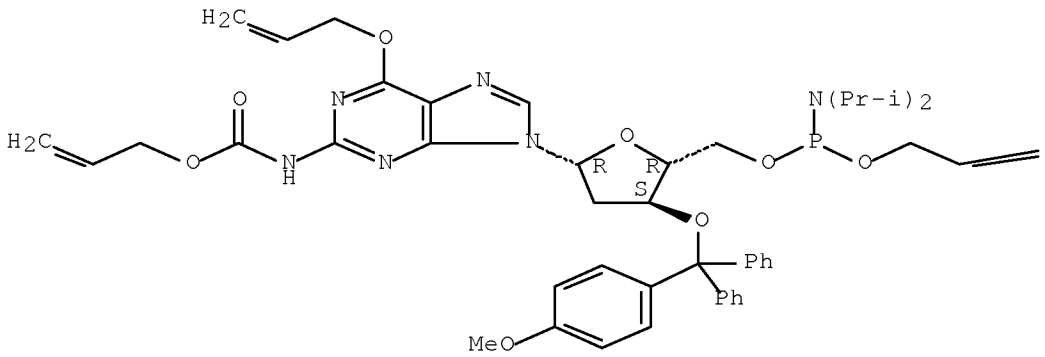
IT 232919-02-7P 357625-65-1P 357625-73-1P
357625-74-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 5'-end solid-anchored, 3'-end free oligodeoxyribonucleotides via the (5'→3')-elongated phosphoramidite strategy)

RN 232919-02-7 HCAPLUS

CN Guanosine, 2'-deoxy-3'-O-[(4-methoxyphenyl)diphenylmethyl]-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 5'-[2-propenyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

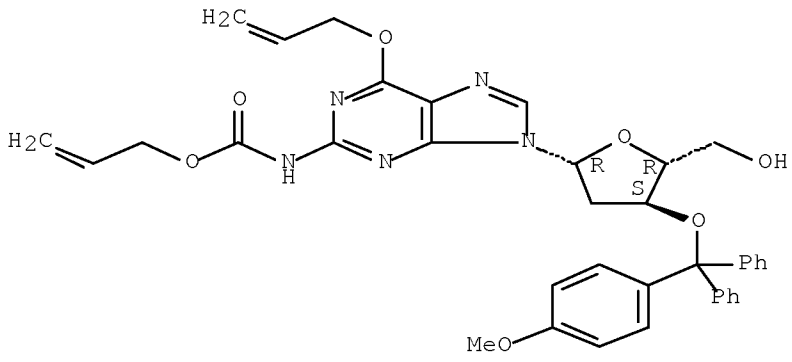
Absolute stereochemistry.

PAGE 1-A



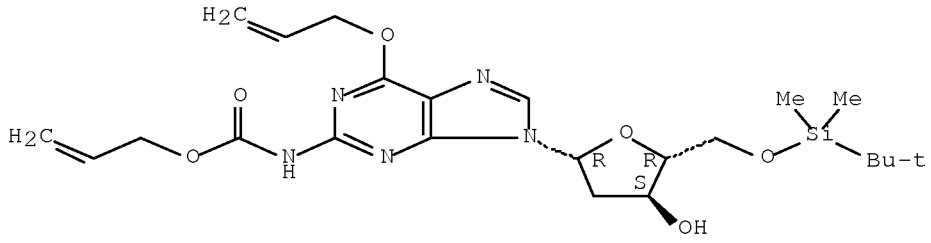
RN 357625-65-1 HCAPLUS
CN Guanosine, 3'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



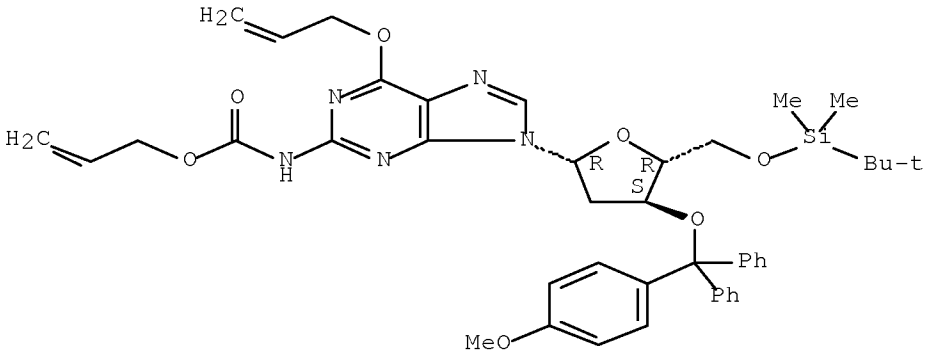
RN 357625-73-1 HCAPLUS
CN Guanosine, 2'-deoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 357625-74-2 HCAPLUS
CN Guanosine, 2'-deoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-3'-O-[(4-methoxyphenyl)diphenylmethyl]-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]- (9CI) (CA INDEX NAME)

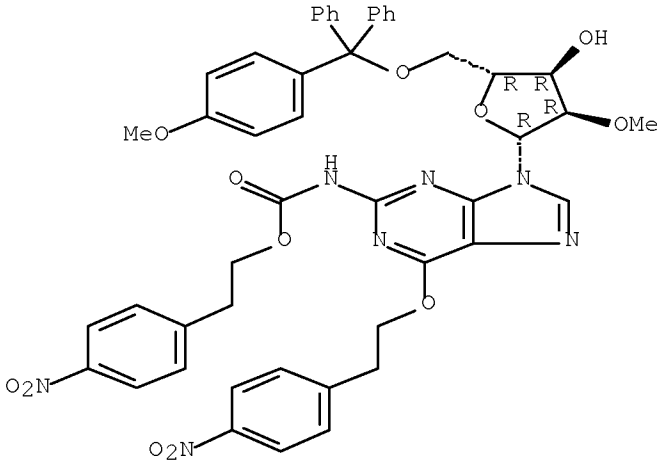
Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

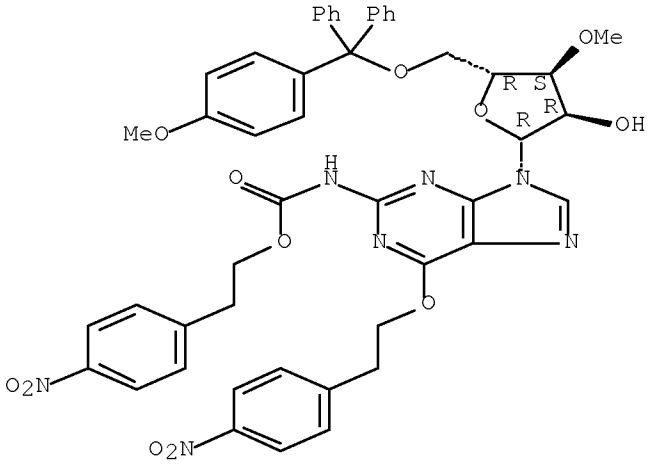
L24 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:309472 HCAPLUS Full-text
DOCUMENT NUMBER: 131:32126
TITLE: Nucleotides. Part 60. Synthesis and characterization of new 2'-O-methylriboside 3'-O-phosphoramidites useful for the solid-phase synthesis of 2'-O-methyloligoribonucleotides
AUTHOR(S): Cramer, Hagen; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fakultat Chemie, Univ. Konstanz, Konstanz, D-78434, Germany
SOURCE: Helvetica Chimica Acta (1999), 82(4), 614-632
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:32126
AB A series of 2'-O-methylribonucleoside 3'-O-[2-(4-nitrophenyl)ethyl dialkylphosphoramidites] were synthesized, and their stability and reactivity was compared in automated oligonucleotide synthesis with standard 2'-O-methylribonucleoside 3'-O-(β-cyanoethyl diisopropylphosphoramidites). 4-O2NC6H4(CH2)2 (npe) and 4-O2NC6H4(CH2)2O2C (npeoc) groups were used for the protection of the base moieties.
IT 185761-02-8 185761-03-9 185761-04-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of riboside phosphoramidites for solid-phase synthesis of oligoribonucleotides)
RN 185761-02-8 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185761-03-9 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-3'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

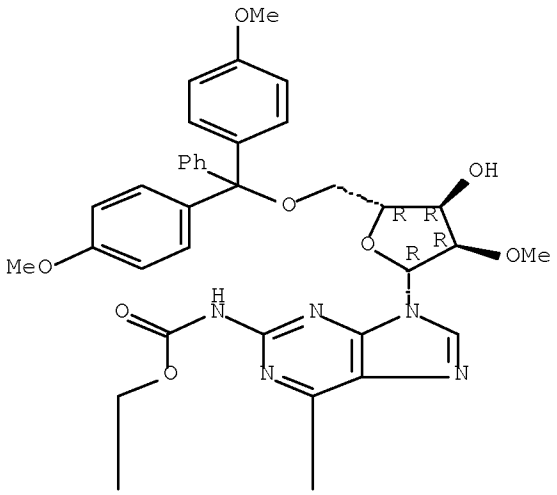
Absolute stereochemistry.



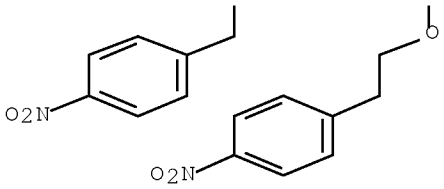
RN 185761-04-0 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



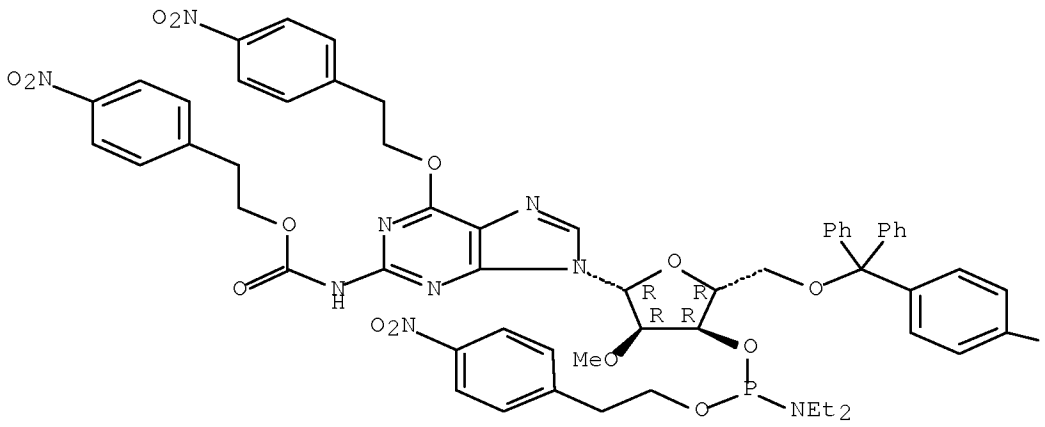
IT 226882-13-9P 226882-15-1P 226882-18-4P
226882-22-0P 226882-26-4DP, long-chain
(methylamino)alkyl controlled-pore glass bound (LCMAA-CPG)
226882-26-4P 226882-32-2DP, long-chain
(methylamino)alkyl controlled-pore glass bound (LCMAA-CPG)
226882-32-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of riboside phosphoramidites for solid-phase synthesis of oligoribonucleotides)

RN 226882-13-9 HCAPLUS

CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-(4-nitrophenyl)ethyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



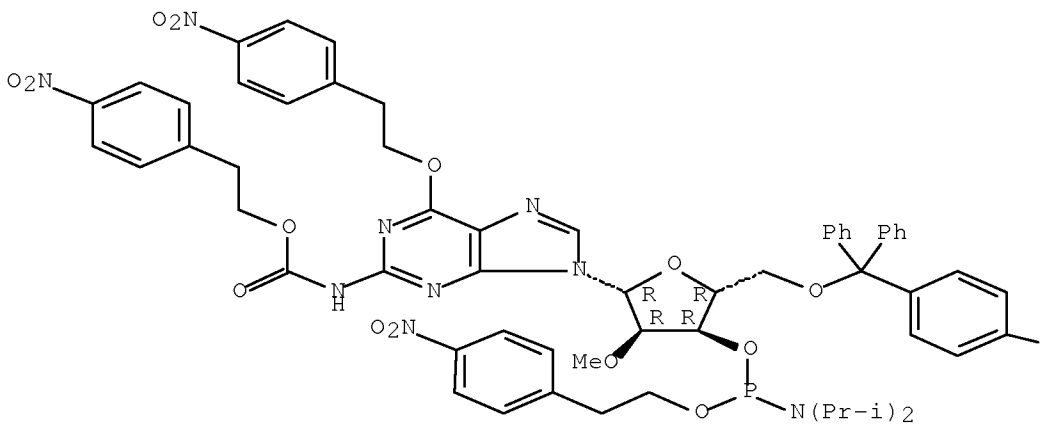
PAGE 1-B

—OMe

RN 226882-15-1 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-(4-nitrophenyl)ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



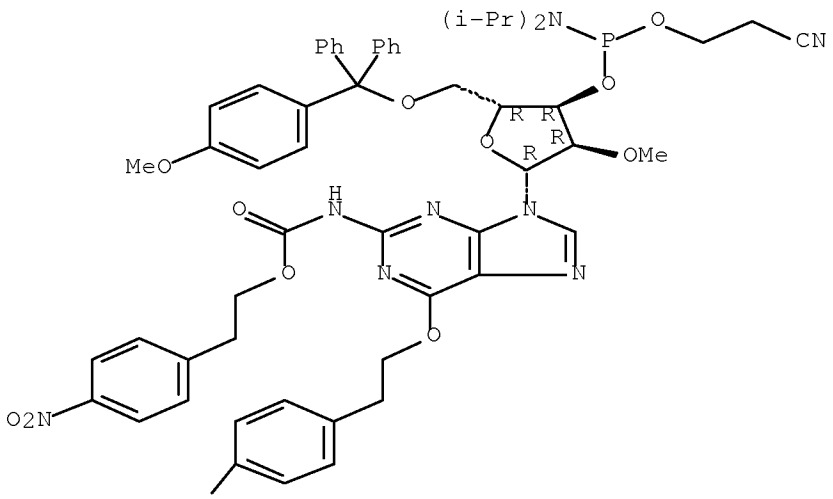
PAGE 1-B

—OMe

RN 226882-18-4 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

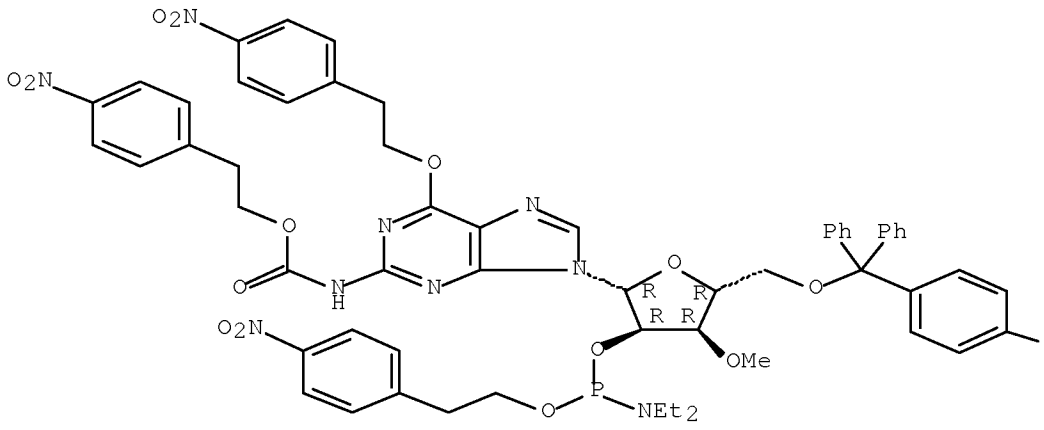


PAGE 2-A

RN 226882-22-0 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-3'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 2'-[2-(4-nitrophenyl)ethyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

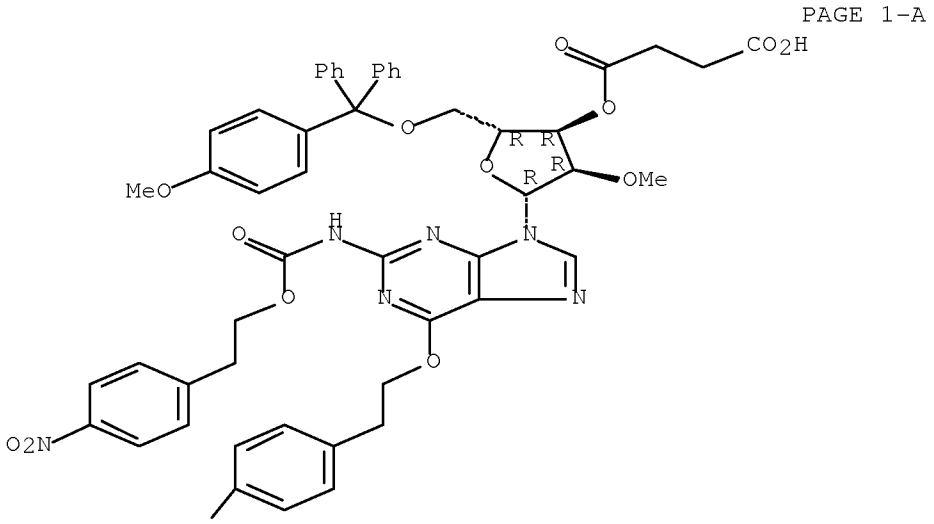


PAGE 1-B

RN 226882-26-4 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

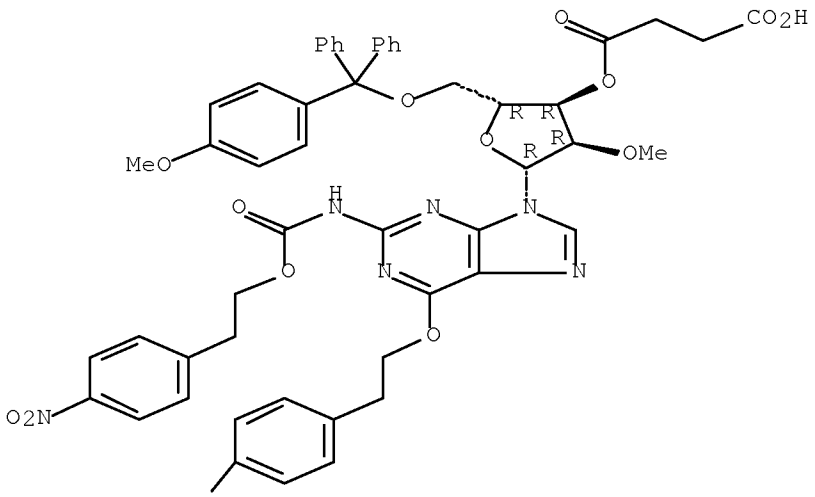


PAGE 2-A

RN 226882-26-4 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

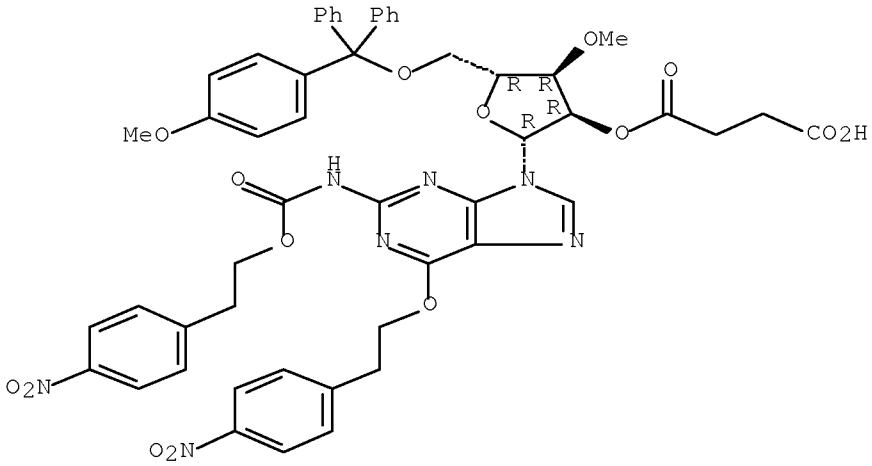
PAGE 1-A



PAGE 2-A

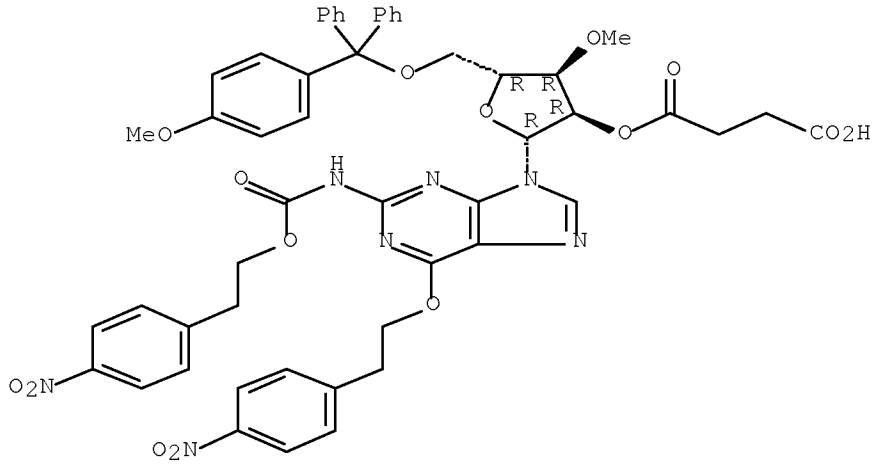
RN 226882-32-2 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-3'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 2'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226882-32-2 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-3'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 2'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

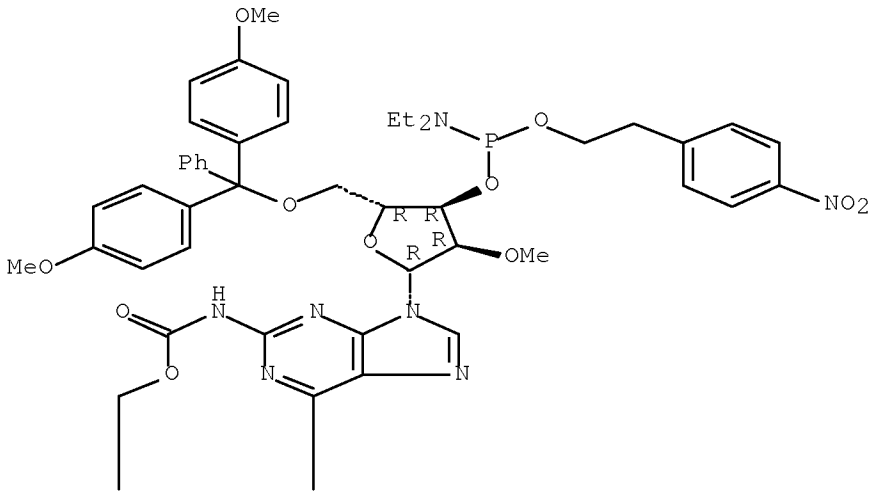
Absolute stereochemistry.



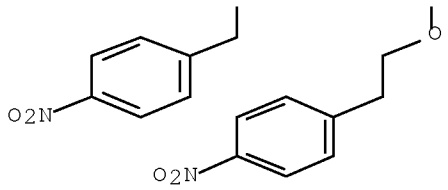
IT 226882-14-0P 226882-16-2P 226882-17-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of riboside phosphoramidites for solid-phase synthesis of oligoribonucleotides)
RN 226882-14-0 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-(4-nitrophenyl)ethyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



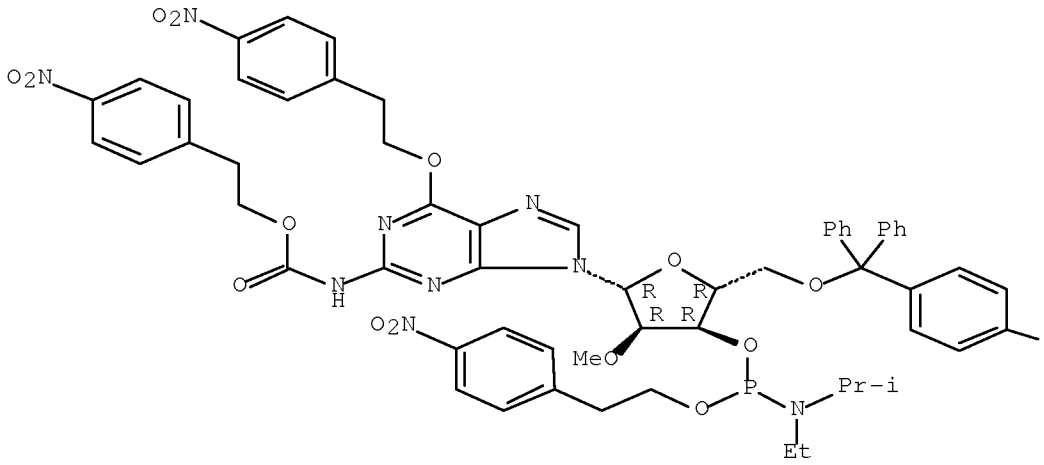
PAGE 2-A



RN 226882-16-2 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-(4-nitrophenyl)ethyl ethyl(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



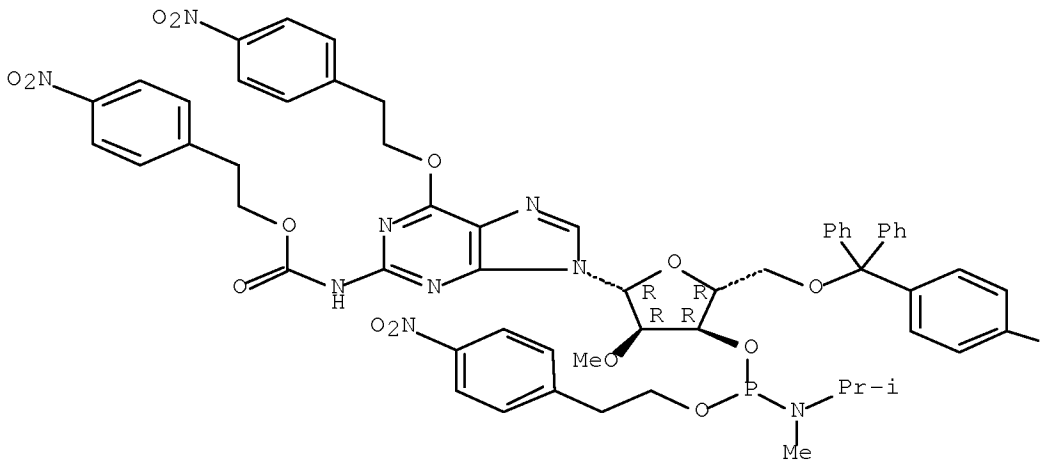
PAGE 1-B

RN 226882-17-3 HCAPLUS
CN Guanosine, 5'-O-[(4-methoxyphenyl)diphenylmethyl]-2'-O-methyl-N-[[2-(4-

nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-(4-nitrophenyl)ethyl methyl(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OMe

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:740244 HCAPLUS Full-text
DOCUMENT NUMBER: 127:331700
ORIGINAL REFERENCE NO.: 127:65153a,65156a
TITLE: A combinatorial protecting group strategy for the solid phase preparation of oligodeoxyribonucleotides
INVENTOR(S): Koster, Hubert; Leikauf, Eckart
PATENT ASSIGNEE(S): Koster, Hubert, USA; Leikauf, Eckart
SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741139	A2	19971106	WO 1997-US6509	19970417 <--
WO 9741139	A3	19971204		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9724624	A	19971119	AU 1997-24624	19970417 <--
EP 898575	A2	19990303	EP 1997-920432	19970417 <--
EP 898575	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 286063	T	20050115	AT 1997-920432	19970417 <--
US 20030194741	A1	20031016	US 1999-171625	19990702 <--
US 6828435	B2	20041207		
US 20030054410	A1	20030320	US 2002-211073	20020731 <--

PRIORITY APPLN. INFO.:			
US 1996-15699P	P	19960417 <--
WO 1997-US6509	W	19970417 <--
US 1999-171625	A1	19990702 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB In general, the invention features the use of novel protection schemes and solid phase preparation reactions to generate mols. of core structure M (M is a multifunctional low mol. weight compound, such as a saccharide, amino sugar, deoxy sugar, nucleoside, nucleotide, coenzyme, amino acid, lipid, steroid, vitamin, hormone, alkaloid, or small mol. drug), which have a plurality of functionalities, each of which can be individually protected or functionalized. Thus, d(TTTT) and d(TAGCT) were prepared using an apparatus for manual preparation consisted of column type reactor fitted with a sintered glass frit, a stopcock, and a connection to a vacuum pump to remove solvents by suction or to dry the support just before the condensation step.

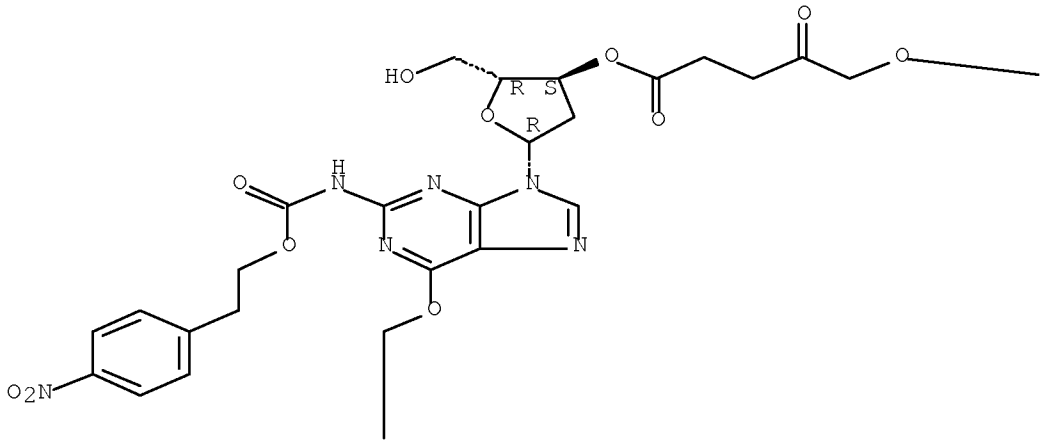
IT 178313-82-1P 197963-39-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combinatorial protecting group strategy for the solid phase preparation of oligodeoxyribonucleotides)

RN 178313-82-1 HCAPLUS

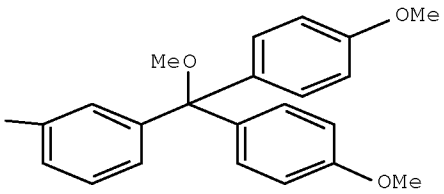
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[5-[3-[methoxybis(4-methoxyphenyl)methyl]phenoxy]-4-oxopentanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

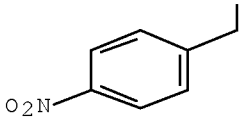
PAGE 1-A



PAGE 1-B



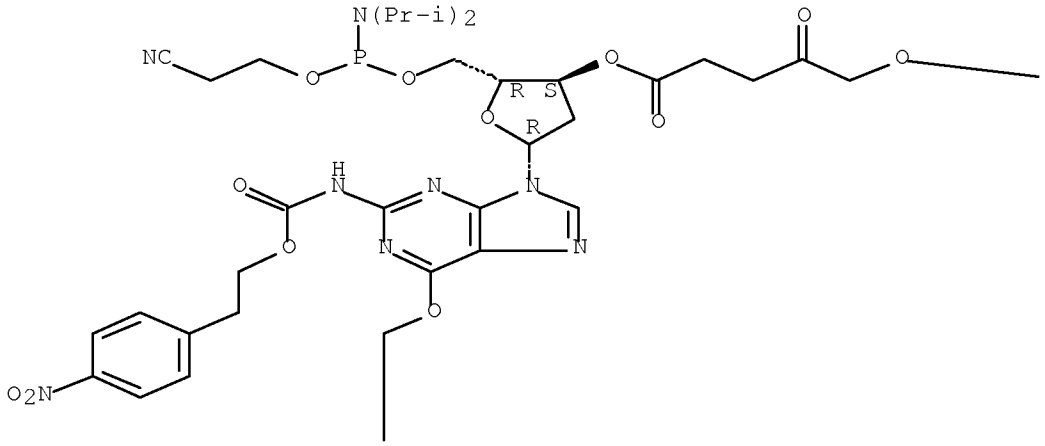
PAGE 2-A



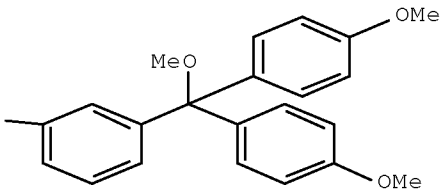
RN 197963-39-6 HCAPLUS
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-,
5'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite]
3'-[5-[3-[methoxybis(4-methoxyphenyl)methyl]phenoxy]-4-oxopentanoate]
6-[2-(4-nitrophenyl)ethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

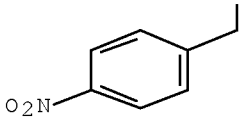
PAGE 1-A



PAGE 1-B



PAGE 2-A



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1996:494754 HCAPLUS Full-text
DOCUMENT NUMBER: 125:222358
ORIGINAL REFERENCE NO.: 125:41581a,41584a
TITLE: Backbone modified oligonucleotide analogs and solid phase synthesis of them
INVENTOR(S): Cook, Phillip D.; Sanghvi, Yogesh S.; Morvan, Francois
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. 5,386,023.
CODEN: USXXAM
DOCUMENT TYPE: Patent

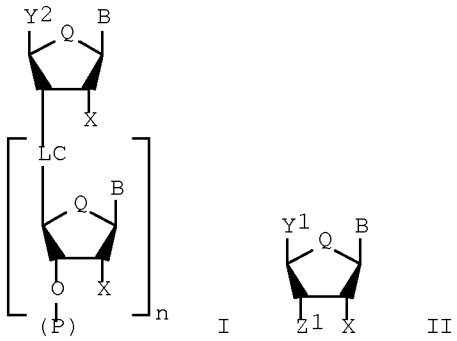
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 327
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5541307	A	19960730	US 1993-174379	19931228	<--
US 5138045	A	19920811	US 1990-558663	19900727	<--
US 5223618	A	19930629	US 1990-566836	19900813	<--
US 5378825	A	19950103	US 1991-703619	19910521	<--
US 5386023	A	19950131	US 1993-40903	19930331	<--
US 5834607	A	19981110	US 1994-361858	19941222	<--
WO 9518136	A1	19950706	WO 1994-US14883	19941228	<--
W: CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 737201	A1	19961016	EP 1995-906115	19941228	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
AU 9726244	A	19971106	AU 1997-26244	19970624	<--
AU 713740	B2	19991209			
US 6232463	B1	20010515	US 1998-128508	19980804	<--

PRIORITY APPLN. INFO.:

US 1990-558663	A2	19900727	<--
US 1990-566836	A2	19900813	<--
US 1991-703619	A2	19910521	<--
US 1992-903160	B2	19920624	<--
US 1993-40903	A2	19930331	<--
US 1992-844845	A2	19920303	<--
US 1992-943516	B1	19920911	<--
AU 1993-38025	A3	19930225	<--
US 1993-174379	A	19931228	<--
WO 1994-US14883	W	19941228	<--
US 1997-948151	A1	19971009	<--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 125:222358
GI



AB Compds. and methods for preparing oligonucleotide analogs are provided. In preferred embodiments, the methods involve solid-phase coupling of synthons bearing either 3'-electrophilic groups and 5'-nucleophilic groups or 5'-electrophilic groups and 3'-nucleophilic groups to form neutral, achiral oligomers. This process for forming covalent linkages comprises the steps of: (a) providing a support-bound synthon having structure I and (b) contacting

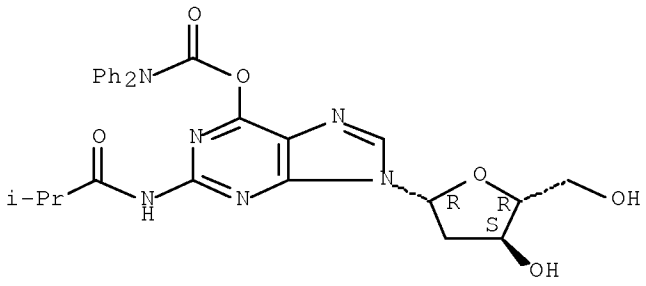
said support-bound synthon with a solution-phase synthon having structure II, said contacting being for a time and under reaction conditions effective to form a covalent linkage having structure CHN:RACH2, CH2CH:NRA, CH2RAN:CH, or RAN:CHCH2; wherein: Z1 and Y2 are selected such that (i) Z1 is C(O)H and Y2 is CH2RANH2; or (ii) Z1 is CH2RANH2 and Y2 is C(O)H; or (iii) Z1 is CH2C(O)H and Y2 is RANH2 ; or (iv) Z1 is RANH2 and Y2 is CH2 C(O)H; each RA is, independently, O or NR2 ; Y1 is OH, ORHP, CH2OH, or CH2ORHP where RHP is a hydroxyl protecting group; (P) is a solid support; each LC is, independently, a covalent linkage having the structure CH:NRACH2, CH2CH:NRA, CH2RAN:CH, RAN:CHCH2, OP(O)2OCH2, or OP(S)(O)OCH2; n is 0-200; each R2 is, independently, H; alkyl or substituted alkyl having 1 to about 10 carbon atoms; alkenyl or substituted alkenyl having 2 to about 10 carbon atoms; alkynyl or substituted alkynyl having 2 to about 10 carbon atoms; alkaryl, substituted alkaryl, aralkyl, or substituted aralkyl having 7 to about 14 carbon atoms; each B is, independently, a nucleosidic base; each Q is, independently, O or S; and each X is, independently, H, OH, alkyl or substituted alkyl having 1 to about 10 carbon atoms, F, Cl, Br, CN, CF3, OCF3, OCN, O-alkyl, S-alkyl, or N-alkyl. Thus, e.g., 5'-O-phthalimidothymidine was loaded onto succinyl-CPG whose free amino groups were capped [HO2C(CH2)2CONMe-CPG-NMe2] to provide 5'-O-phthalimido-3'-O-(succinyl-CPG-NMe2)thymidine; deprotection to the 5'-O-amino was followed by 10 cycles of coupling/deprotection with 5'-O-phthalimido-3'-formyl-3'-deoxythymidine and a final coupling with 5'-tert-butyldiphenylsilyl-3'-formyl-3'- deoxythymidine to provide a bound oxime-linked oligonucleoside; reduction of the latter with NaCNBH3 provided the bound aminohydroxyl-linked oligonucleoside which upon methylation with formaldehyde/NaCNBH3 provided the bound oligomer with 3'-de(oxyphosphinico)-3'-[methylene(methylimino)] 3'-CH2-NMe-O-5' backbone; oligomer was cleaved from the solid support with 30% NH3, deprotected with TBAF, and purified to provide T12 with 3'-de(oxyphosphinico)-3'-[methylene(methylimino)] backbone.

IT 161388-91-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase synthesis of backbone modified oligonucleotide analogs)

RN 161388-91-6 HCAPLUS

CN Guanosine, 2'-deoxy-N-(2-methyl-1-oxopropyl)-, 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

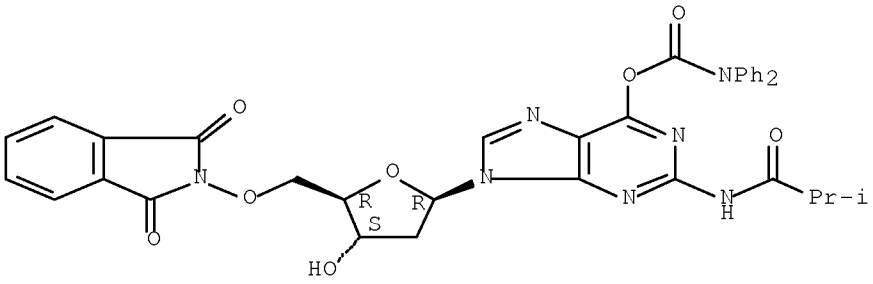


IT 166758-20-9DP, succinyl controlled pore glass bound
166758-20-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of backbone modified oligonucleotide analogs)

RN 166758-20-9 HCAPLUS

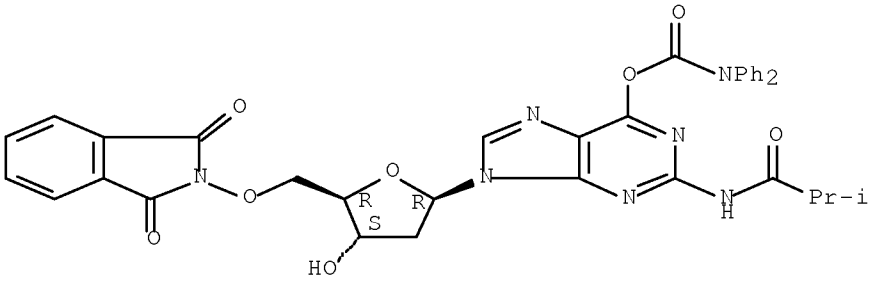
CN Guanosine, 2',5'-dideoxy-5'-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-N-(2-methyl-1-oxopropyl)-, 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 166758-20-9 HCAPLUS
CN Guanosine, 2',5'-dideoxy-5'-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-N-(2-methyl-1-oxopropyl)-, 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1996:309975 HCAPLUS Full-text
DOCUMENT NUMBER: 125:58965
ORIGINAL REFERENCE NO.: 125:11357a,11360a
TITLE: A combinatorial protecting group strategy for oligonucleotide synthesis
AUTHOR(S): Dumontet, Vincent; Thoison, Odile; Omobuwajo, Olamrewaju R.; Martin, Marie-Therese; Perromat, Guillaume; Chiaroni, Angele; Riche, Claude; Pais, Mary; Sevenet, Thierry; Hadi, A. Hamid A.
CORPORATE SOURCE: Inst Chim. Substances Naturelles, C.N.R.S., Gif-sur-Yvette, D-20146, Fr.
SOURCE: Tetrahedron (1996), 52(20), 6913-6930
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel 5'-3' directed DNA synthesis will be described. Together with addnl. investigations on model compds. a synthetic strategy is established which allows multi-selective deprotections. This offers the potential to either generate oligonucleotides in different sequence specific

protection/functionalization states or to create a combinatorial set of mols. available for specific mol. interaction or recognition expts.

IT 131920-31-5

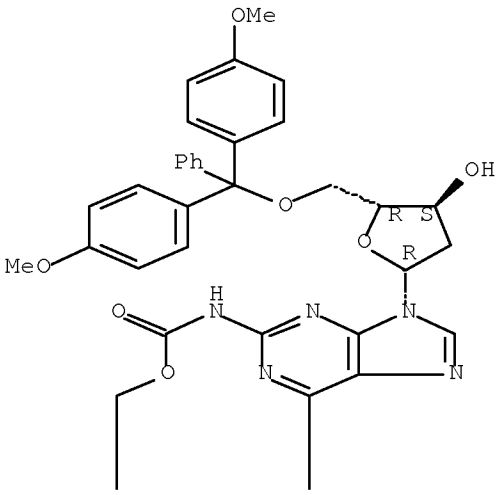
RL: RCT (Reactant); RACT (Reactant or reagent)
(combinatorial protecting group strategy for the preparation of antitumor oligodeoxyribonucleotides)

RN 131920-31-5 HCAPLUS

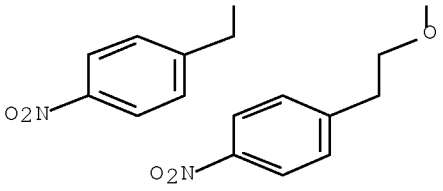
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



IT 178313-82-1P 178313-86-5P 178313-93-4P

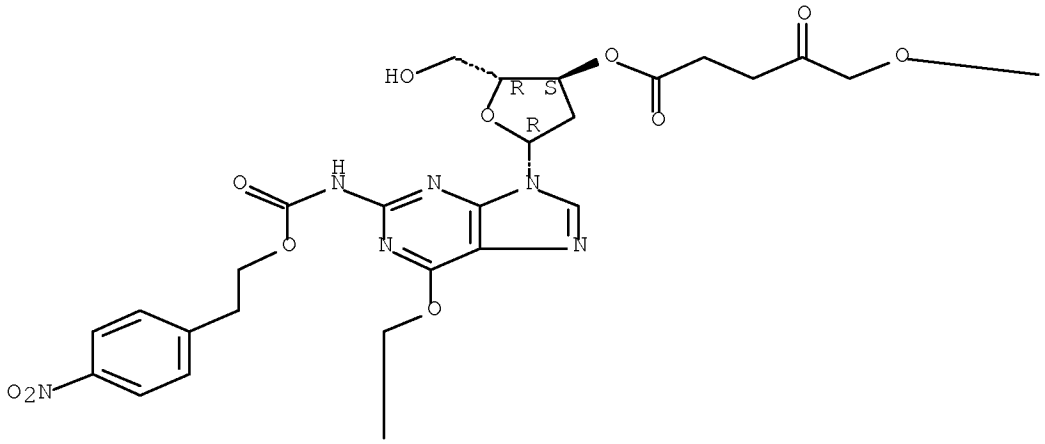
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combinatorial protecting group strategy for the preparation of antitumor oligodeoxyribonucleotides)

RN 178313-82-1 HCAPLUS

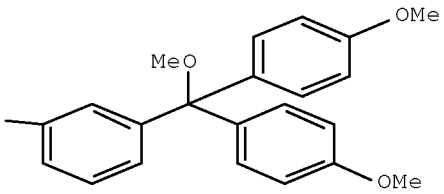
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[5-[3-[methoxybis(4-methoxyphenyl)methyl]phenoxy]-4-oxopentanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

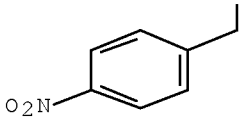
PAGE 1-A



PAGE 1-B



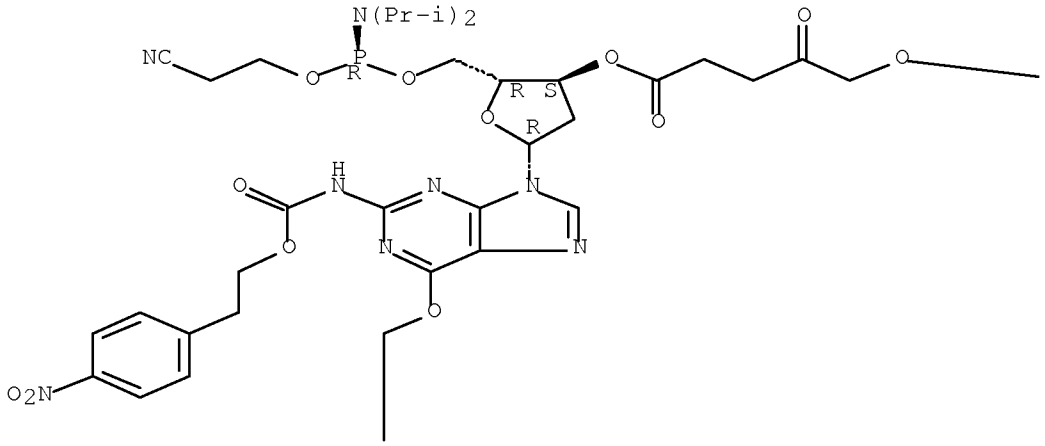
PAGE 2-A



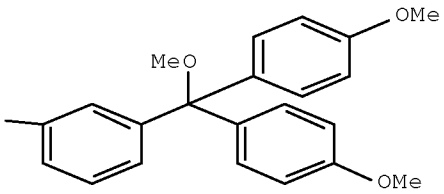
RN 178313-86-5 HCAPLUS
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-,
5'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite]
3'-[5-[3-[methoxybis(4-methoxyphenyl)methyl]phenoxy]-4-oxopentanoate]
6-[2-(4-nitrophenyl)ethyl carbonate], (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

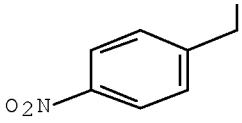
PAGE 1-A



PAGE 1-B



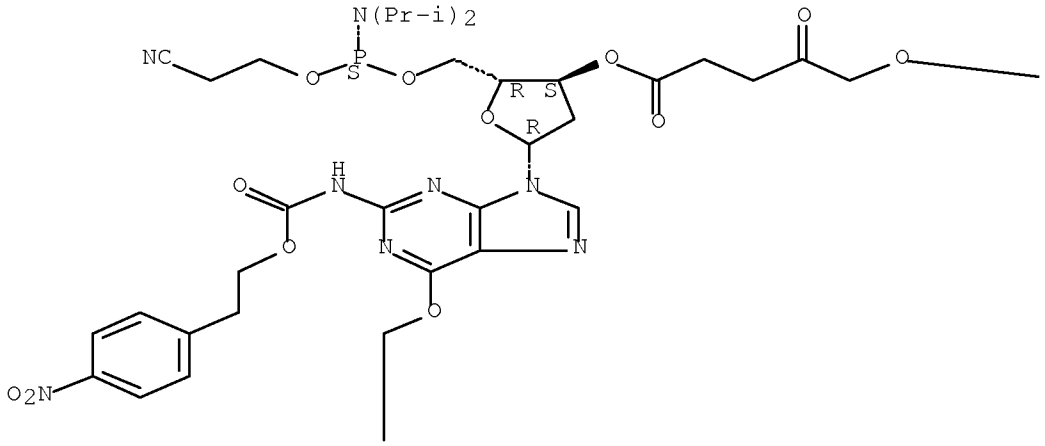
PAGE 2-A



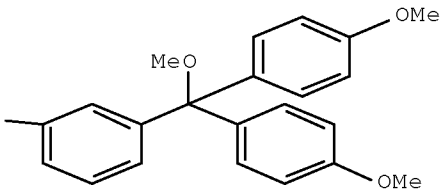
RN 178313-93-4 HCAPLUS
CN Guanosine, 2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-,
5'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite]
3'-[5-[3-[methoxybis(4-methoxyphenyl)methyl]phenoxy]-4-oxopentanoate]
6-[2-(4-nitrophenyl)ethyl carbonate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

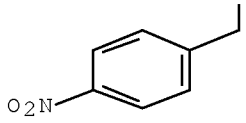
PAGE 1-A



PAGE 1-B



PAGE 2-A



L24 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:23912 HCAPLUS Full-text
DOCUMENT NUMBER: 123:56474
ORIGINAL REFERENCE NO.: 123:10191a,10194a
TITLE: Nucleotides. Part XLIII. Solid-phase synthesis of oligoribonucleotides using the 2-dansylethoxycarbonyl (=2-{[5-(dimethylamino)naphthalen-1-yl]sulfonyl}ethoxycarbonyl; Dnseoc) group for 5'-hydroxy protection
AUTHOR(S): Bergmann, Frank; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fakultaet fuer Chemie, Universitaet Konstanz, Konstanz, D-78434, Germany
SOURCE: Helvetica Chimica Acta (1994), 77(4), 988-98
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new efficient method for solid-phase of oligoribonucleotides via the phosphoramidite approach is described. The combination of the base-labile 2-dansylethoxycarbonyl (Dnseoc) group for 5'-OH protection with the acid-labile tetrahydro-4-methoxy-2H-pyran-4-yl(Thmp) group as 2'-OH blocking group is orthogonal regarding cleavage reactions and fulfills the requirements of an automated synthesis in an excellent manner if the phosphoramidite function carries the N,N-diethyl-O-[2-(4-nitrophenyl)ethyl]substitution.

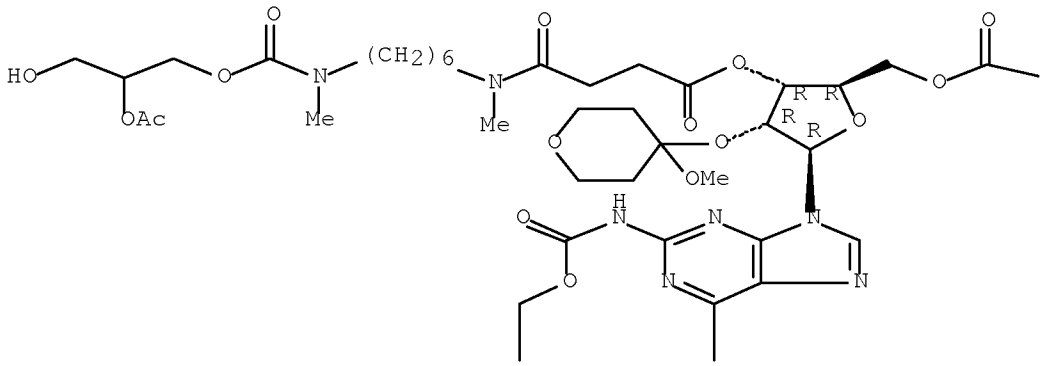
IT 155866-03-8D, LCAMA-CPG polymer support
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of oligoribonucleotides)

RN 155866-03-8 HCAPLUS

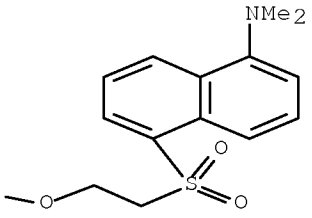
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 5'-[2-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]ethyl carbonate] 3'-[4-(hydroxymethyl)-8,15-dimethyl-2,7,16-trioxo-3,6-dioxa-8,15-diazanonadecan-19-oate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

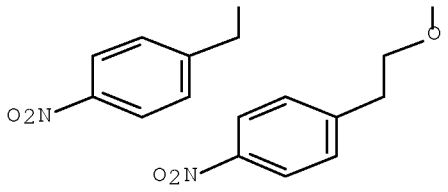
PAGE 1-A



PAGE 1-B



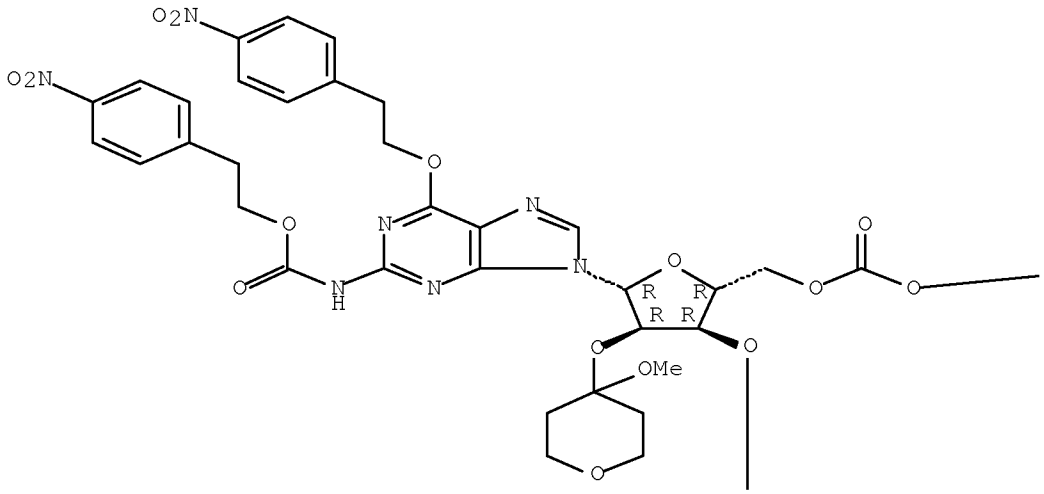
PAGE 2-A



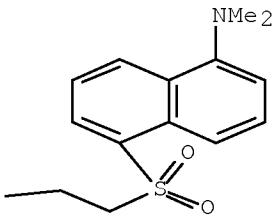
IT 155865-92-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of oligoribonucleotides)
RN 155865-92-2 HCAPLUS
CN Guanosine, N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-2'-O-(tetrahydro-4-methoxy-2H-pyran-4-yl)-, 5'-[2-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]ethyl carbonate] 3'-[2-(4-nitrophenyl)ethyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

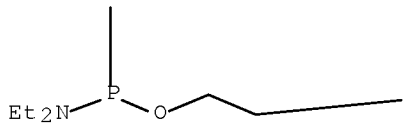
PAGE 1-A



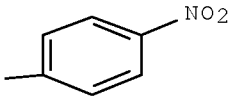
PAGE 1-B



PAGE 2-A



PAGE 2-B



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L24 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:591837 HCAPLUS Full-text
DOCUMENT NUMBER: 113:191837
ORIGINAL REFERENCE NO.: 113:32493a,32496a
TITLE: Improved synthesis of oligodeoxyribonucleotides
AUTHOR(S): Stengele, Klaus Peter; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Germany
SOURCE: Tetrahedron Letters (1990), 31(18), 2549-52
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The design of a new polymer support in combination with well-known β-eliminating protecting groups offers an improved approach for automated oligonucleotide synthesis. This procedure allows preparation of fully deblocked but still support-bound oligomers, which result on final release in high yield, easy isolation, and high purity.

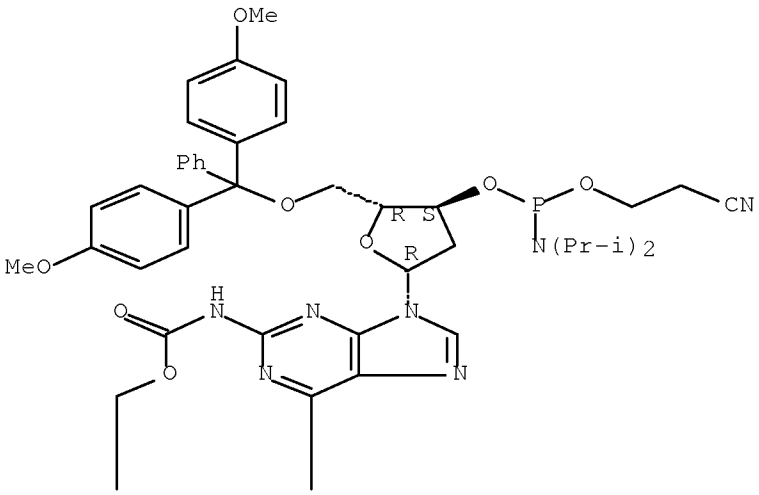
IT 129904-71-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 129904-71-8 HCAPLUS

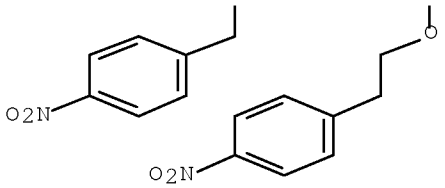
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]-6-O-[2-(4-nitrophenyl)ethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



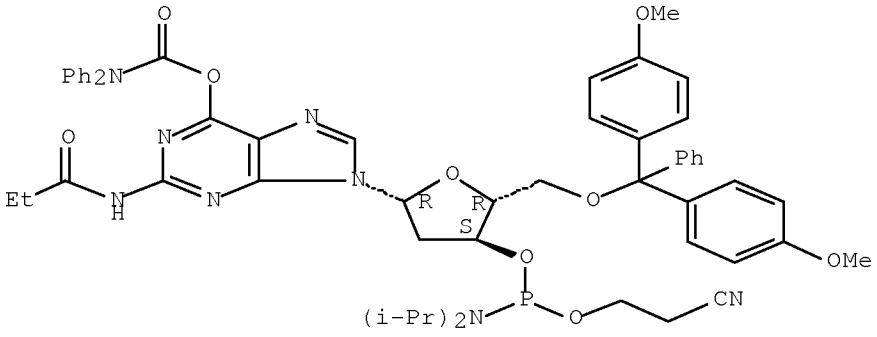
OS.CITING REF COUNT: 37 THERE ARE 37 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS)

L24 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:459388 HCAPLUS Full-text
DOCUMENT NUMBER: 107:59388
ORIGINAL REFERENCE NO.: 107:9877a
TITLE: Application of 2-cyanoethyl N,N,N',N'-tetraisopropylphosphorodiamidite for in situ preparation of deoxyribonucleoside phosphoramidites and their use in polymer-supported synthesis of oligodeoxyribonucleotides
AUTHOR(S): Nielsen, John; Taagaard, Michael; Marugg, John E.; Van Boom, Jacques H.; Dahl, Otto
CORPORATE SOURCE: Dep. Gen. Org. Chem., Univ. Copenhagen, Copenhagen, DK-2100, Den.
SOURCE: Nucleic Acids Research (1986), 14(18), 7391-403
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Deoxyribonucleoside phosphoramidites are prepared in situ from 5'-O,N-protected deoxyribonucleosides and NCCH2CH2P[N(CHMe2)2]2 with tetrazole as catalyst, and the solns. applied directly on an automatic solid-phase DNA synthesizer. Using LCAA-CPG support and a cycle time of 12.5 min,

oligonucleotides of 16-25 bases are obtained with a dimethoxytritylation-efficiency per cycle of 98.0-99.3%. The crude and fully deblocked products are of a purity comparable to that obtained using purified phosphoramidites.

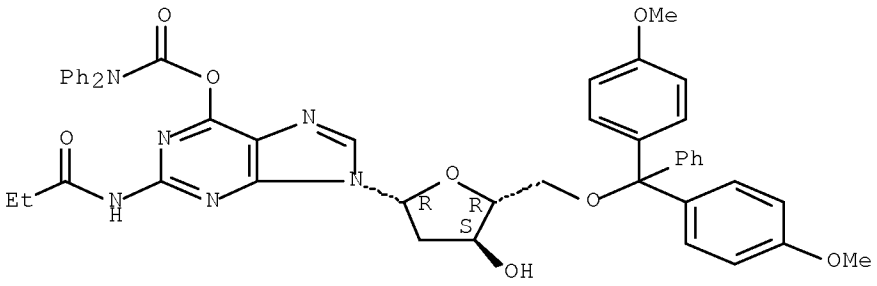
IT 109464-21-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and nucleotide synthesis with, on solid phase)
RN 109464-21-3 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 87036-65-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanoethyltetraisopropylphosphorodiamidite)
RN 87036-65-5 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(1-oxopropyl)-, 6-(diphenylcarbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

L24 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1984:187016 HCAPLUS Full-text
DOCUMENT NUMBER: 100:187016
ORIGINAL REFERENCE NO.: 100:28363a,28366a
TITLE: Enzymic removal of O6-ethylguanine versus stability of O4-ethylthymine in the DNA of rat tissues exposed to the carcinogen ethylnitrosourea: possible interference of guanine-O6 alkylation with 5-cytosine

methylation in the DNA of replicating target cells

AUTHOR(S): Mueller, Rolf; Rajewsky, Manfred F.
CORPORATE SOURCE: Inst. Zellbiol., Univ. Essen, Essen, D-4300/1, Fed. Rep. Ger.

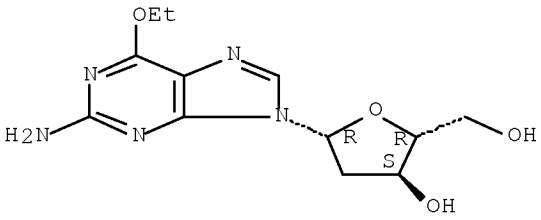
SOURCE: Zeitschrift fuer Naturforschung, C: Journal of Biosciences (1983), 38C(11-12), 1023-9
CODEN: ZNCBDA; ISSN: 0341-0382
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To compare the kinetics of their enzymic elimination from the DNA of liver, kidney, lung, and brain, the alkylation products O4-ethyl-2'-deoxythymidine (O4-EtdThd) [59495-22-6] and O6-ethyl-2'-deoxyguanosine (O6-EtdGuo) [50704-46-6] were quantitated by competitive radioimmunoassay over a period of 48 h after a single pulse of N-ethyl-N-nitrosourea (EtNU) [759-73-9] applied i.p. to 10- and 28-day-old BDIX-rats. The content of O4-EtdThd in the DNA of all organs analyzed remained stable, while O6-EtdGuo (initially formed in DNA with 3-4 times higher frequency than O4-EtdThd) was rapidly removed from the DNA of liver, followed by lung and kidney, but persisted strongly in the DNA of brain. At 48 h after the EtNU-pulse, the O4-EtdThd content of liver DNA exceeded the O6-EtdGuo content by about a factor of 4. Since O6-EtdGuo and O4-EtdThd are miscoding DNA lesions, the lack of enzymic removal of O4-EtdThd is surprising in view of the apparent concern of cells to restore the integrity of the O6-position of guanine. Genetic consequences more specifically connected with the formation of O6-alkylguanine in DNA might be considered, e.g., possible alterations of gene expression via interference with enzymic 5-cytosine methylation in 5'-CpG-3' sequences of newly replicated DNA.

IT 50704-46-6
RL: FORM (Formation, nonpreparative)
(formation of, in DNA, ethylnitrosourea induction of, enzymic removal in relation to)

RN 50704-46-6 HCAPLUS
CN Guanosine, 2'-deoxy-6-O-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



SEARCH HISTORY

```
=> d his ful

     (FILE 'HOME' ENTERED AT 16:57:57 ON 15 AUG 2010)

     FILE 'HCAPLUS' ENTERED AT 16:58:02 ON 15 AUG 2010
                E SATO YUKIO/AU
L1           547 SEA ABB=ON  "SATO YUKIO"/AU
L2           196 SEA ABB=ON  "KOBAYASHI HIROKO"/AU
L3            11 SEA ABB=ON  L1 AND L2
L4            10 SEA ABB=ON  L3 AND CPG
                SELECT RN L4 1-10

     FILE 'REGISTRY' ENTERED AT 16:58:46 ON 15 AUG 2010
L5            10 SEA ABB=ON  (848512-14-1/BI OR 11028-71-0/BI OR 114-07-8/BI OR
                57-13-6/BI OR 787248-92-4/BI OR 787248-93-5/BI OR 787248-94-6/B
                I OR 787248-95-7/BI OR 896501-02-3/BI OR 9000-86-6/BI)

     FILE 'HCAPLUS' ENTERED AT 16:58:54 ON 15 AUG 2010
L6             6 SEA ABB=ON  L4 AND L5

     FILE 'REGISTRY' ENTERED AT 17:04:04 ON 15 AUG 2010
L7             0 SEA ABB=ON  6-O-METHYL-2-DEOXYGUANOSINE/CN
                E DEOXYGUANOSINE/CN
L8             1 SEA ABB=ON  964-21-6/RN

     FILE 'HCAPLUS' ENTERED AT 17:05:34 ON 15 AUG 2010
L9           154 SEA ABB=ON  L8 OR 6(W)O(W)METHYL?(W)2(W)?DEOXYGUANOSINE?
L10            0 SEA ABB=ON  L9 AND CPG

     FILE 'REGISTRY' ENTERED AT 17:06:21 ON 15 AUG 2010
L11               STRUCTURE 964-21-6
L12           50 SEA SSS SAM L11
L13         2288 SEA SSS FUL L11

     FILE 'HCAPLUS' ENTERED AT 17:06:44 ON 15 AUG 2010
L14         1303 SEA ABB=ON  L13
L15          116 SEA ABB=ON  L14 AND ?PHARM?
L16            7 SEA ABB=ON  L15 AND ?EXCIPIENT?
L17           17 SEA ABB=ON  L14 AND CPG
L18          133 SEA ABB=ON  L15 OR L17
L19          116 SEA ABB=ON  L18 AND ?PHARM?
L20            7 SEA ABB=ON  L19 AND ?EXCIPIENT?
L21            0 SEA ABB=ON  L7 AND CPG
L22            0 SEA ABB=ON  L19 AND CPG
L23           24 SEA ABB=ON  L17 OR L20
L24           14 SEA ABB=ON  L23 AND (PRD<20030423 OR PD<20030423)

     FILE HOME

     FILE HCAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
```